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* * * * * Welcome to STN International * * * * *

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NEWS 2 Apr 08 "Ask CAS" for self-help around the clock
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NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
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now available on STN
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NEWS 8 Sep 16 Experimental properties added to the REGISTRY file
NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
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NEWS 17 Dec 17 TOXCENTER enhanced with additional content
NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN
NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,
ENERGY, INSPEC
NEWS 20 Feb 13 CANCERLIT is no longer being updated
NEWS 21 Feb 24 METADEX enhancements
NEWS 22 Feb 24 PCTGEN now available on STN
NEWS 23 Feb 24 TEMA now available on STN
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 25 Feb 26 PCTFULL now contains images
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27 Mar 19 APOLLIT offering free connect time in April 2003
NEWS 28 Mar 20 EVENTLINE will be removed from STN
NEWS 29 Mar 24 PATDPAFULL now available on STN
NEWS 30 Mar 24 Additional information for trade-named substances without
structures available in REGISTRY
NEWS 31 Apr 11 Display formats in DGENE enhanced
NEWS 32 Apr 14 MEDLINE Reload
NEWS 33 Apr 17 Polymer searching in REGISTRY enhanced
NEWS 34 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 35 Apr 21 New current-awareness alert (SDI) frequency in
WPIDS/WPINDEX/WPIX

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

09/ 724,941 Supplemental

specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:25:34 ON 24 APR 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:25:42 ON 24 APR 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2003 HIGHEST RN 504385-01-7

DICTIONARY FILE UPDATES: 23 APR 2003 HIGHEST RN 504385-01-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

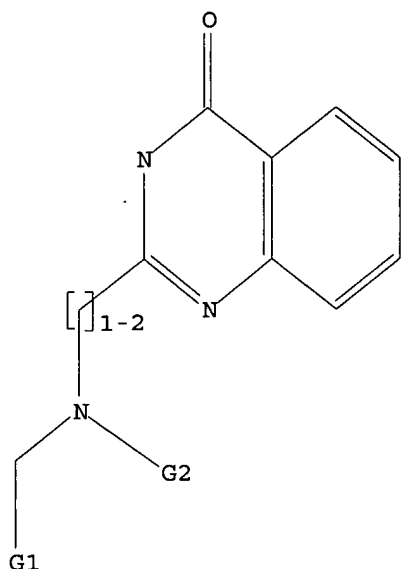
Uploading 09724941.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,Cy,Hy

G2 C,O,Cb,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:26:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1921 TO ITERATE

52.1% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 35792 TO 41048
PROJECTED ANSWERS: 30714 TO 35598

L2 50 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 10:26:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 37889 TO ITERATE

100.0% PROCESSED 37889 ITERATIONS 32530 ANSWERS
SEARCH TIME: 00.00.12

L3 32530 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
148.55	148.76

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:27:02 ON 24 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 24 Apr 2003 VOL 138 ISS 17
FILE LAST UPDATED: 23 Apr 2003 (20030423/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3/bio

'BIO' IS NOT A VALID CROSSOVER QUALIFIER FOR L3

Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> s l3/biol

```

      47 L3
      5387168 BIOL/RL
L4      21 L3/BIOL
      (L3 (L) BIOL/RL)

```

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS

```

ACCESSION NUMBER: 2003:202479 CAPLUS
DOCUMENT NUMBER: 138:231712
TITLE: Compositions and methods of treatment of cancer
INVENTOR(S): Bamdad, Cynthia C.
PATENT ASSIGNEE(S): Minerva Biotechnologies Corporation, USA
SOURCE: PCT Int. Appl., 87 pp.
      CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020279	A2	20030313	WO 2002-US28576	20020905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-317302P P 20010905
US 2002-376732P P 20020501

OTHER SOURCE(S): MARPAT 138:231712

AB This invention generally relates to compns. and methods for cancer treatment and, in particular, to compns. able to interact (e.g., bind to) with MUC1 growth factor receptor or its ligands, and methods for treating the same. The invention also relates to assays or use of such compns. for the treatment of patients susceptible to or exhibiting symptoms characteristic of cancer or tumorigenesis. Other compns. of the present invention useful for the treatment or prevention of cancer or tumorigenesis include homologs, analogs, derivs., enantiomers or functional equiv. The present compns. can also be packaged in kits in some cases.

IT 334796-04-2 334804-57-8 334804-59-0

335423-20-6 501327-08-8

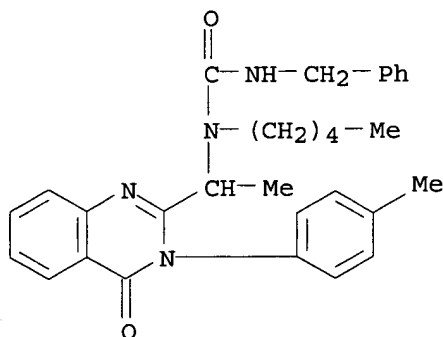
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(compns. and methods of treatment of cancer)

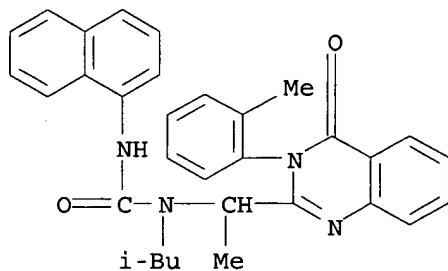
RN 334796-04-2 CAPLUS

CN Urea, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-pentyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



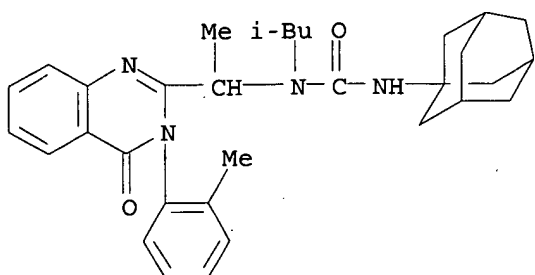
RN 334804-57-8 CAPLUS

CN Urea, N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methylpropyl)-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

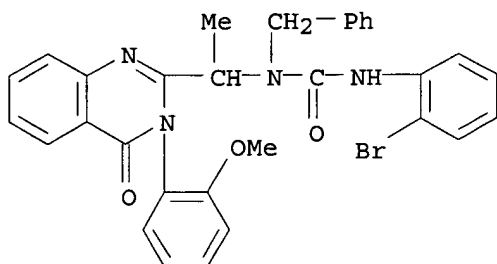


RN 334804-59-0 CAPLUS

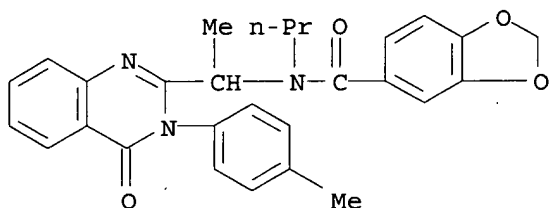
CN Urea, N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methylpropyl)-N'-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



RN 335423-20-6 CAPLUS
 CN Urea, N'-(2-bromophenyl)-N-[1-[3,4-dihydro-3-(2-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 501327-08-8 CAPLUS
 CN 1,3-Benzodioxole-5-carboxamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2003:76556 CAPLUS
 DOCUMENT NUMBER: 138:131125
 TITLE: Fat accumulation-modulating compounds
 INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson
 PATENT ASSIGNEE(S): Adipogenix, Inc., USA
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007888	A2	20030130	WO 2002-US23295	20020722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

09/ 724,941 Supplemental

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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NE, SN, TD, TG

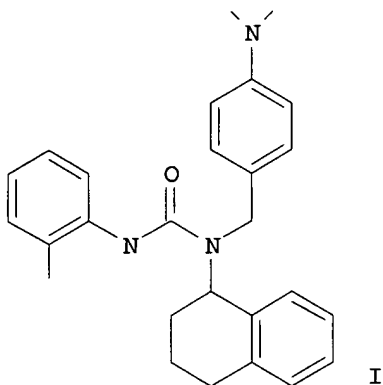
PRIORITY APPLN. INFO.:

US 2001-306837P P 20010720

OTHER SOURCE(S):

MARPAT 138:131125

GI



AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compd. is I and protocol for high-throughput screening of compd. efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

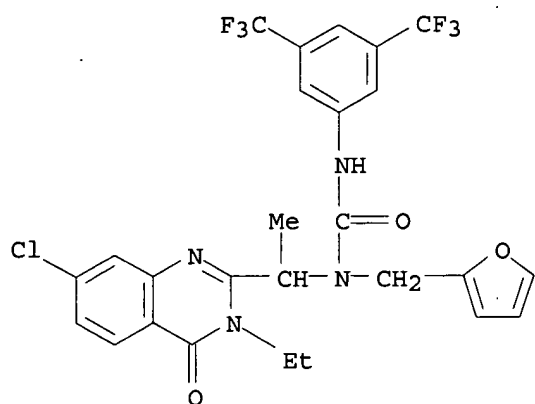
IT 290373-53-4 295350-81-1 335037-55-3
491868-27-0 491868-29-2 491868-61-2
491868-62-3 491868-63-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fat accumulation-modulating compds.)

RN 290373-53-4 CAPLUS

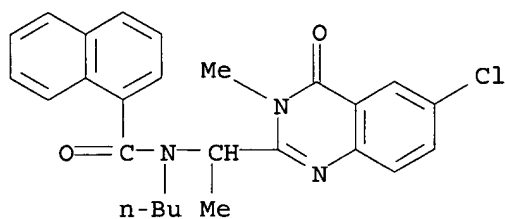
CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[1-(7-chloro-3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

09/ 724,941 Supplemental



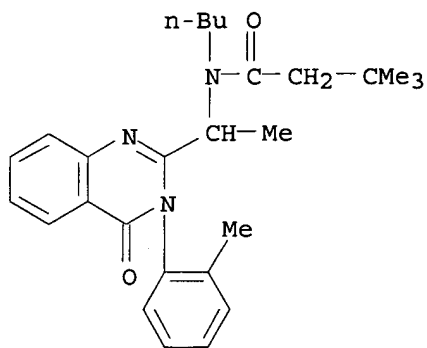
RN 295350-81-1 CAPLUS

CN 1-Naphthalenecarboxamide, N-butyl-N-[1-(6-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]- (9CI) (CA INDEX NAME)



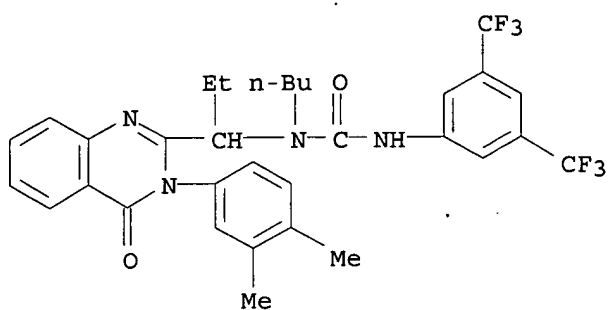
RN 335037-55-3 CAPLUS

CN Butanamide, N-butyl-N-[1-[3,4-dihydro-3-(2-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



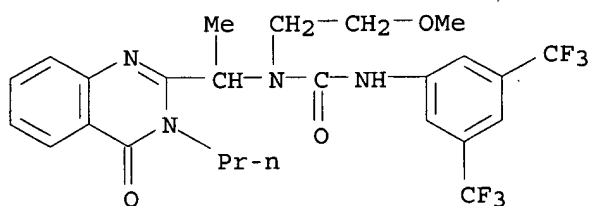
RN 491868-27-0 CAPLUS

CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-butyl-N-[1-[3-(3,4-dimethylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]propyl]- (9CI) (CA INDEX NAME)



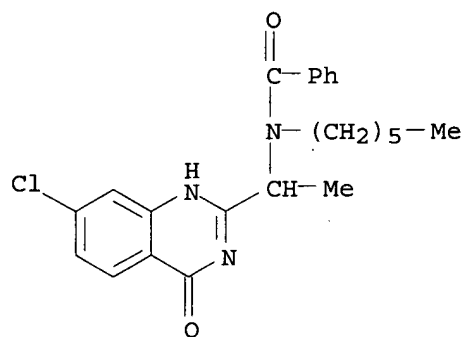
RN 491868-29-2 CAPLUS

CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[1-(3,4-dihydro-4-oxo-3-propyl-2-quinazolinyl)ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



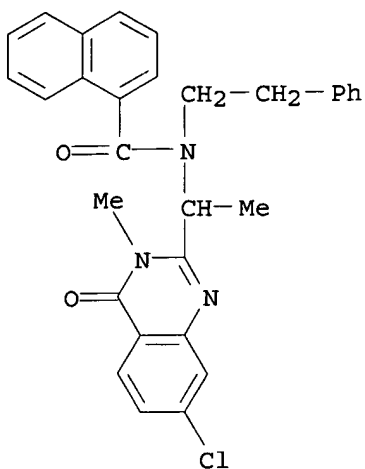
RN 491868-61-2 CAPLUS

CN Benzamide, N-[1-(7-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-hexyl- (9CI) (CA INDEX NAME)

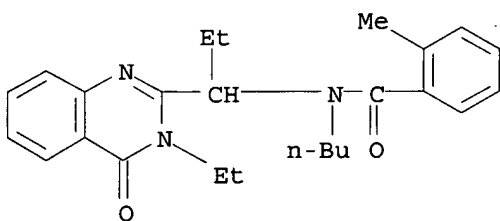


RN 491868-62-3 CAPLUS

CN 1-Naphthalenecarboxamide, N-[1-(7-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 491868-63-4 CAPLUS
 CN Benzamide, N-butyl-N-[1-(3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)propyl]-
 2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:906165 CAPLUS
 DOCUMENT NUMBER: 138:4615
 TITLE: Preparation of fused heterocyclic compounds such as
 2H-isoquinolin-1-one and 3H-quinazolin-4-one
 derivatives as poly(ADP-ribose) polymerase inhibitors
 and medicinal use thereof
 INVENTOR(S): Fujio, Masakazu; Satoh, Hiroyuki; Numata, Atushi;
 Takanashi, Shinichi; Egi, Yasuhiro; Tatsumi, Ryou
 PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
 SOURCE: PCT Int. Appl., 298 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094790	A1	20021128	WO 2002-JP4995	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

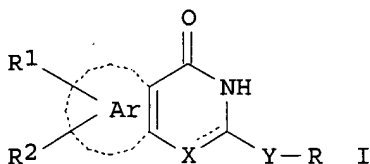
PRIORITY APPLN. INFO.:

JP 2001-154571 A 20010523

OTHER SOURCE(S):

MARPAT 138:4615

GI



AB Fused heterocyclic compds. represented by the general formula (I) [wherein the solid line accompanied by a dotted line represents a single or double bond; the ring Ar = benzene, naphthalene, or arom. heterocyclic ring; X = N, NH, CH, or CH₂ optionally substituted by alkyl or each (un)substituted arom. heterocyclyl or Ph; Y = (CH₂)_m, (CH₂)_m-NR₄-CO-(CH₂)_n, (CH₂)_m-CO-NR₄-(CH₂)_n, (CH₂)_m-CO₂-(CH₂)_n, (CH₂)_m-O₂C-(CH₂)_n, (CH₂)_m-O-(CH₂)_n, (CH₂)_m-O-(CH₂)_n, (CH₂)_m-CO-(CH₂)_m (wherein = an integer of 1-10; R₄ = H, alkyl; provided that (CH₂)_m is linked to the parent nucleus); R₁, R₂ = H, halo, alkyl, alkoxy, haloalkyl, HO, NH₂, dialkylamino, NO₂, cyano, acyl, CO₂H, ester, CONH₂, N-monoalkyl- or N,N-dialkylcarbonyl, acylamino, diacylamino, SH, alkylthio, alkoxyalkylamino, SO₂NH₂, N-monoalkyl- or N,N-dialkylsulfamoyl, alkyloxyalkyloxy; R = NH₂, mono- or dialkylamino, morpholino, or thiomorpholino, heterocyclyl, etc.], optically active isomers thereof, pharmaceutically acceptable salt of any of these, hydrate of any of these, and water addn. product of any of these are prepd. These compds. have poly(ADP-ribose) polymerase inhibitory activity and are useful for the prevention and/or treatment of diseases caused by hyperactivity poly(ADP-ribose) polymerase (PARP), in particular brain infarction. Particularly they are used in an acute stage of brain infarction. Thus, 3.0 g 5-methoxymethoxy-3-(1-methylpiperidin-4-yl)-2H-isoquinolin-1-one was dissolved in 30 mL MeOH and treated with 3 mL 30% HCl/MeOH at room temp. to give 5-hydroxy-3-(1-methylpiperidin-4-yl)-2H-isoquinolin-1-one (II). II in vitro showed IC₅₀ of 12 nM against human PARP. Two I compds. tested did not exhibit affinity with adrenaline receptor .alpha.1 and thereby does show hypotensive activity. 12 I compds. including II showed IC₅₀ of 0.070-0.24 .mu.M for suppressing H₂O₂-induced damage on P388D1 cell. A tablet formulation contg. 5-methyl-3-(4-methylpiperazin-1-yl)-2H-isoquinolin-1-one was described.

IT 476491-86-8P

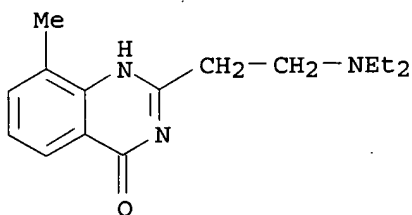
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(prepn. of fused heterocyclic compds. such as 2H-isoquinolinone and 3H-quinazolinone derivs. as poly(ADP-ribose) polymerase inhibitors for prevention or treatment of brain infarction)

RN 476491-86-8 CAPLUS

CN 4(1H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-8-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:813938 CAPLUS

DOCUMENT NUMBER: 137:337907

TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions

INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

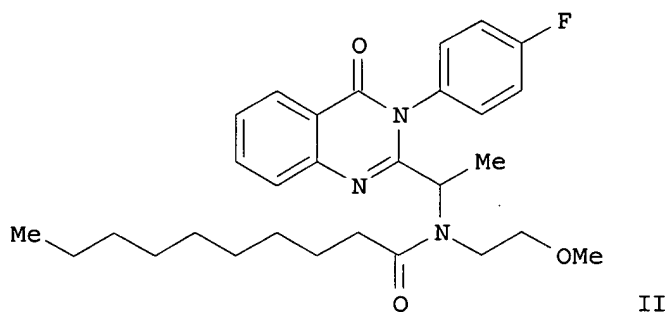
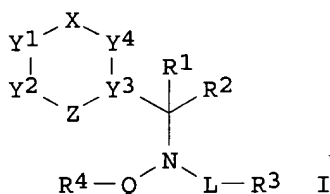
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083143	A1	20021024	WO 2001-US47850	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169159	A1	20021114	US 2001-15532	20011211
US 2003069234	A1	20030410	US 2002-164690	20020606
US 2003055054	A1	20030320	US 2002-231895	20020829
PRIORITY APPLN. INFO.:			US 2000-255241P	P 20001211
			US 2001-296499P	P 20010606
			US 2001-15532	A1 20011211

OTHER SOURCE(S): MARPAT 137:337907

GI



II

AB Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CNR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prep'd. as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addn. of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1 .mu.M. I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

IT 473718-36-4P 473718-37-5P 473718-38-6P
 473718-43-3P 473718-64-8P 473718-66-0P
 473718-99-9P 473719-04-9P 473719-10-7P
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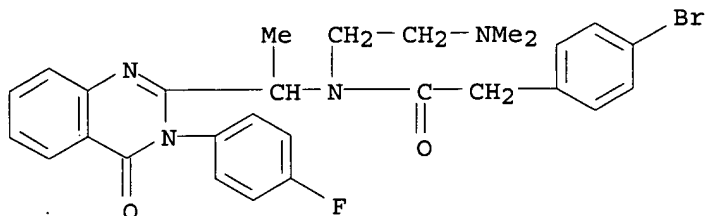
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(CXCR3 antagonist; prepn. of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

09/ 724,941 Supplemental

RN 473718-36-4 CAPLUS

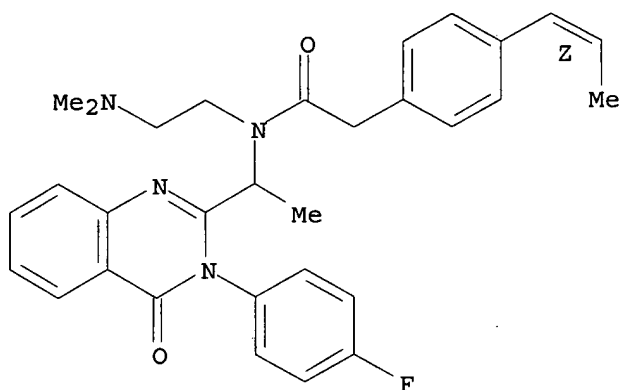
CN Benzeneacetamide, 4-bromo-N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 473718-37-5 CAPLUS

CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1Z)-1-propenyl- (9CI) (CA INDEX NAME)

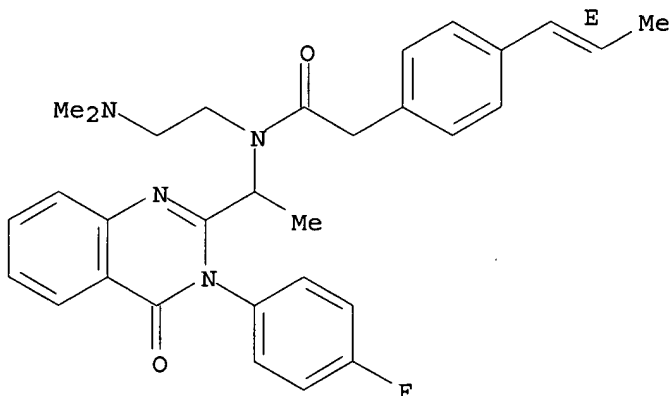
Double bond geometry as shown.



RN 473718-38-6 CAPLUS

CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1E)-1-propenyl- (9CI) (CA INDEX NAME)

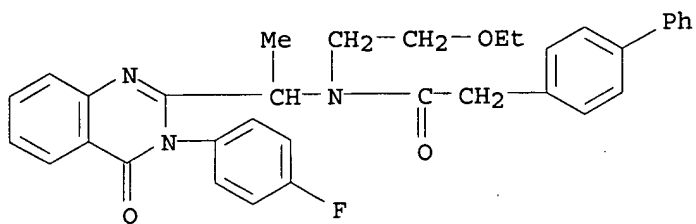
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RN 473718-43-3 CAPLUS

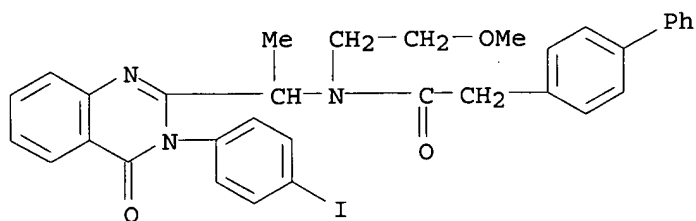
09/ 724,941 Supplemental

CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



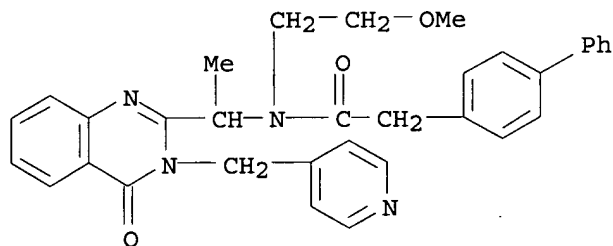
RN 473718-64-8 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-iodophenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 473718-66-0 CAPLUS

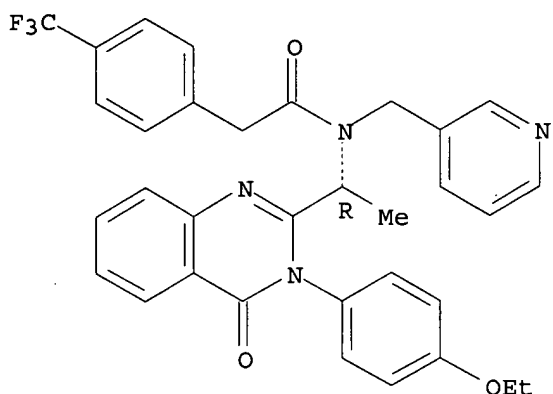
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-(4-pyridinylmethyl)-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



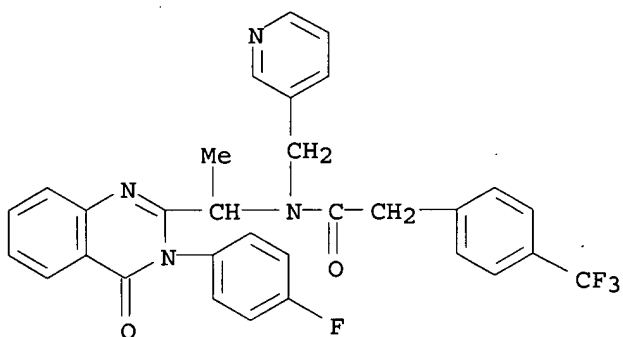
RN 473718-99-9 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

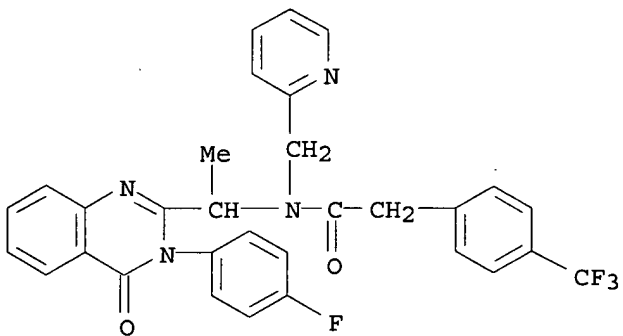
Absolute stereochemistry.



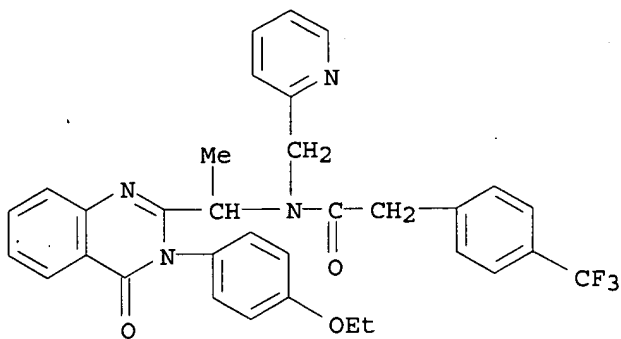
RN 473719-04-9 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-10-7 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

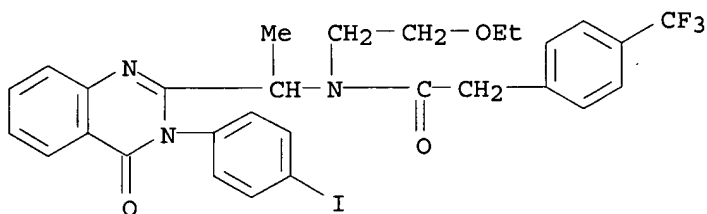


RN 473719-12-9 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

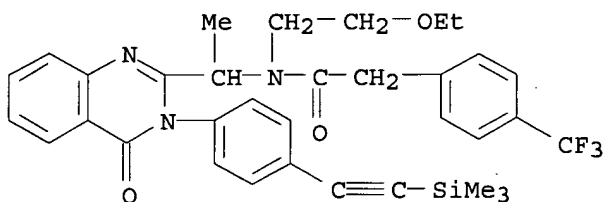


● HCl

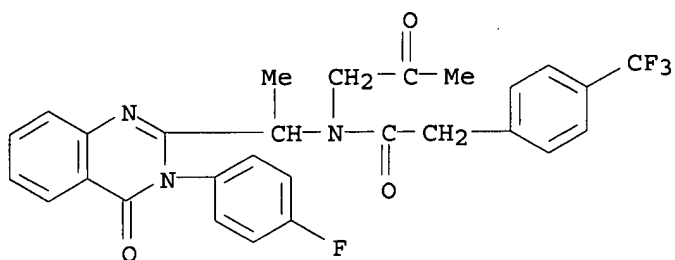
RN 473719-16-3 CAPLUS
 CN Benzeneacetamide, N-[1-[3,4-dihydro-3-(4-iodophenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-21-0 CAPLUS
 CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-[(trimethylsilyl)ethynyl]phenyl]-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

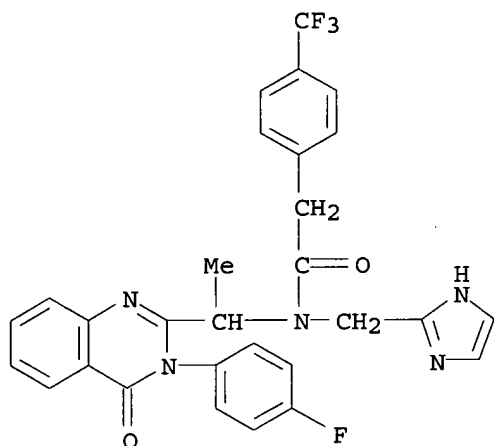


RN 473719-57-2 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-oxopropyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



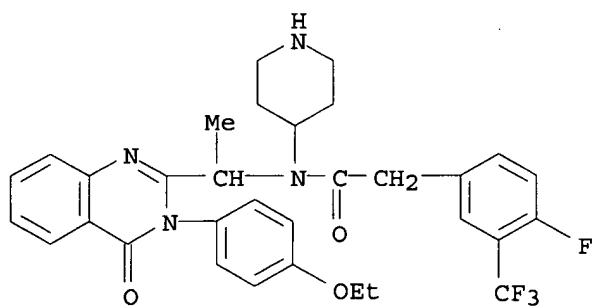
RN 473720-62-6 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-2-ylmethyl)-4-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



RN 473907-65-2 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-4-piperidinyl-3-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



IT 335604-30-3P 473718-25-1P 473718-26-2P
473718-27-3P 473718-28-4P 473718-29-5P
473718-30-8P 473718-31-9P 473718-32-0P
473718-33-1P 473718-34-2P 473718-35-3P
473718-39-7P 473718-40-0P 473718-41-1P
473718-42-2P 473718-44-4P 473718-45-5P
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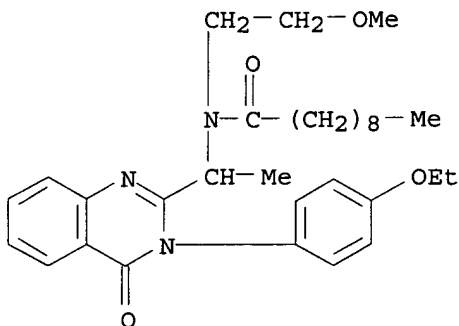
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 473720-76-2P 473722-66-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)

(CXCR3 antagonist; prepn. of N-(heteroarylalkyl)acylamides as CXCR3
 antagonists for treatment of inflammatory or immune conditions)

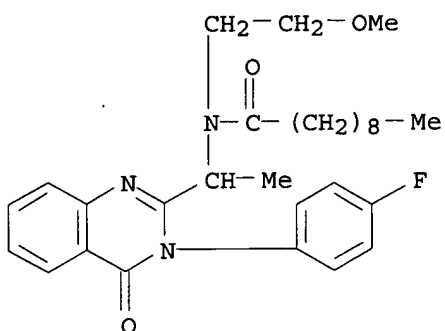
RN 335604-30-3 CAPLUS

CN Decanamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-
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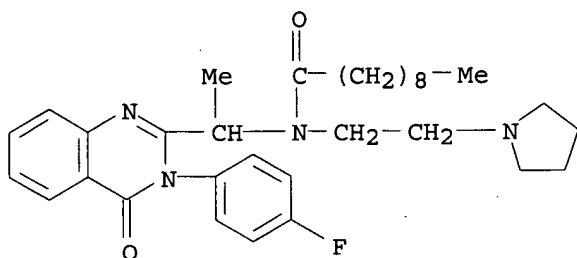
RN 473718-25-1 CAPLUS

CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-
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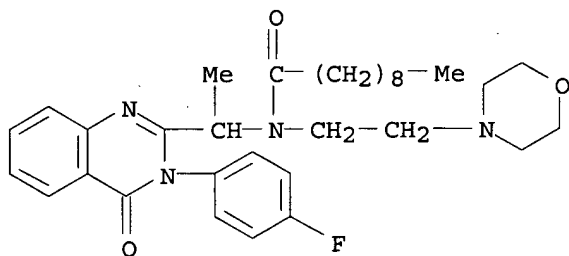
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CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



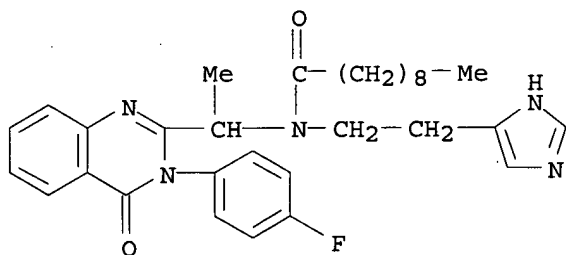
RN 473718-27-3 CAPLUS

CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 473718-28-4 CAPLUS

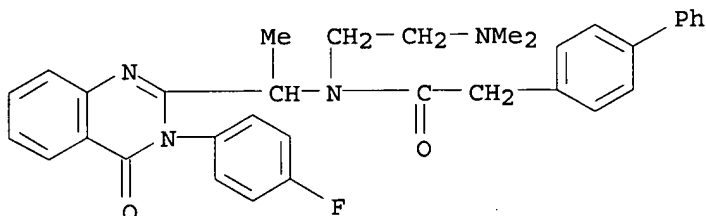
CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



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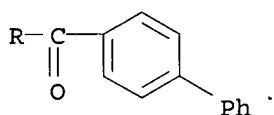
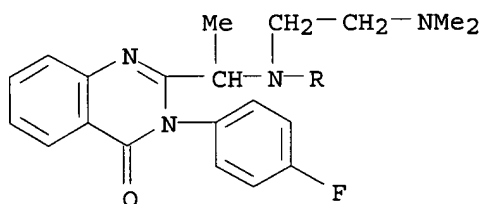
RN 473718-29-5 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



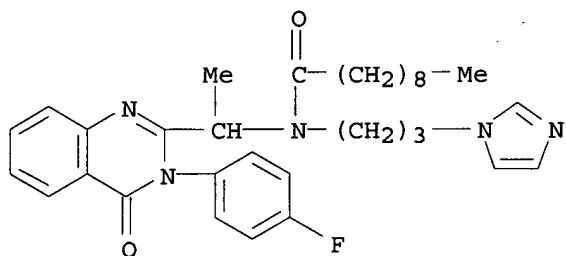
RN 473718-30-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



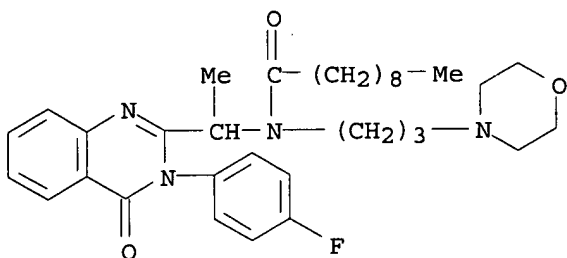
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CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



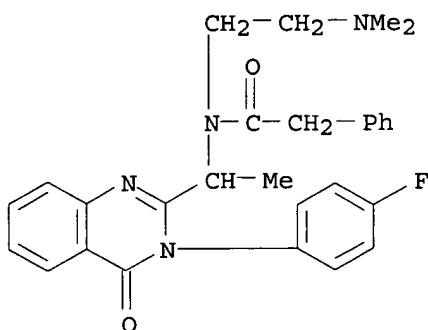
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CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



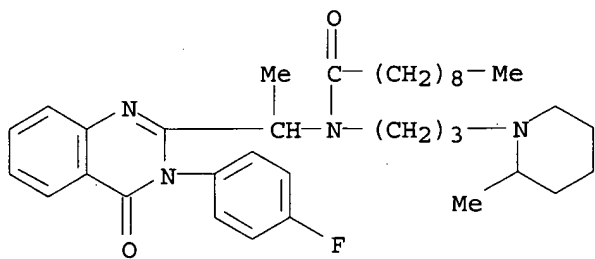
RN 473718-33-1 CAPLUS

CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



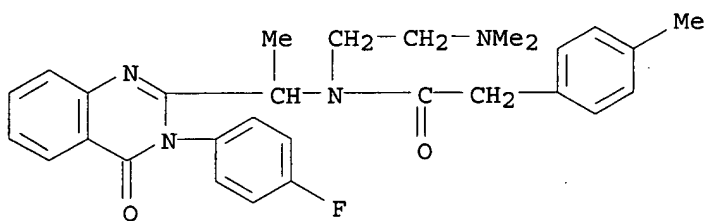
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CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 473718-35-3 CAPLUS

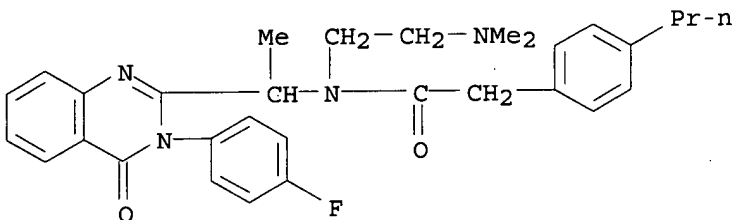
CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



09/ 724,941 Supplemental

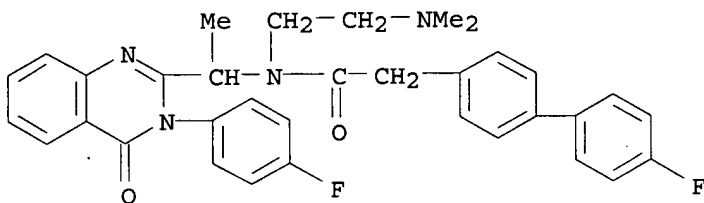
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473718-33-7 CA INDEX
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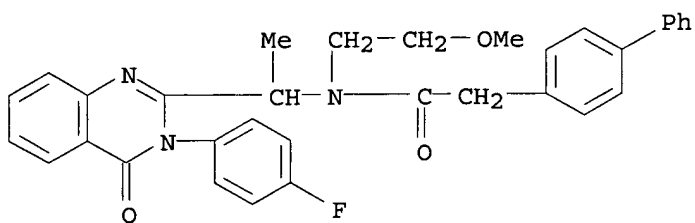
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475718-40 0 CA INDEX
CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-4'-fluoro-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



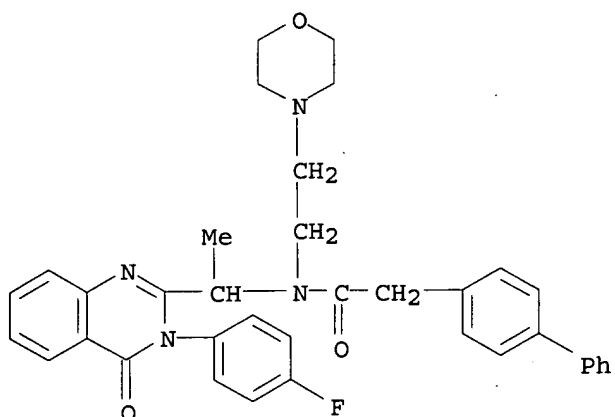
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CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



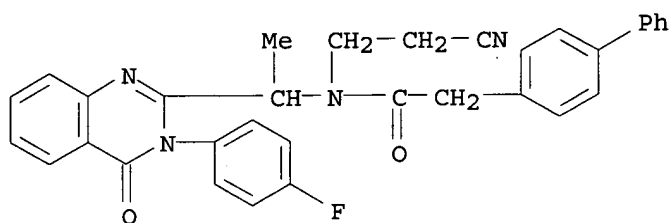
RN 473718-42-2 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



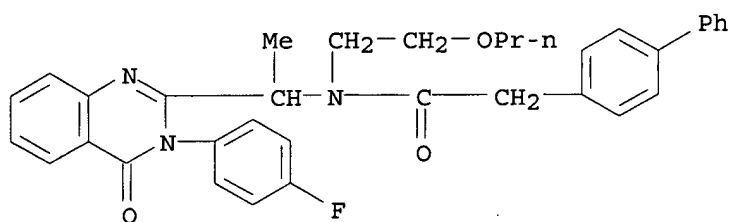
RN 473718-44-4 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(2-cyanoethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



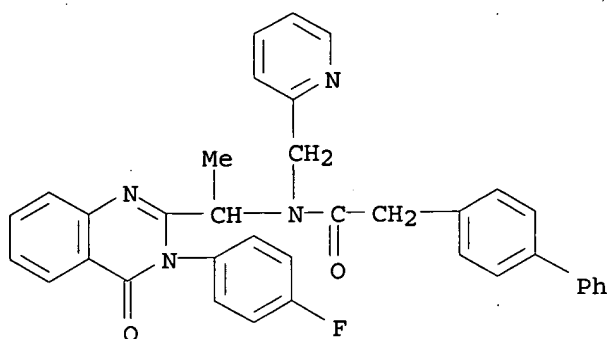
RN 473718-45-5 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-propoxyethyl)- (9CI) (CA INDEX NAME)



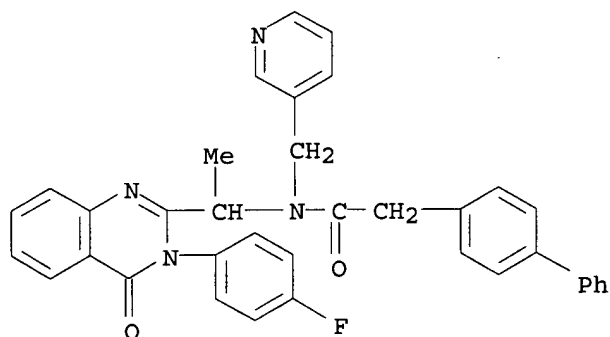
RN 473718-46-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



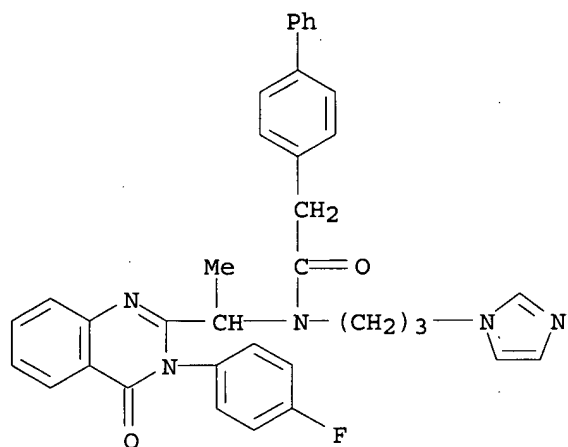
RN 473718-47-7 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



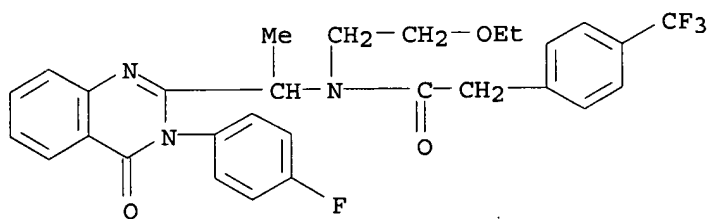
RN 473718-48-8 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)



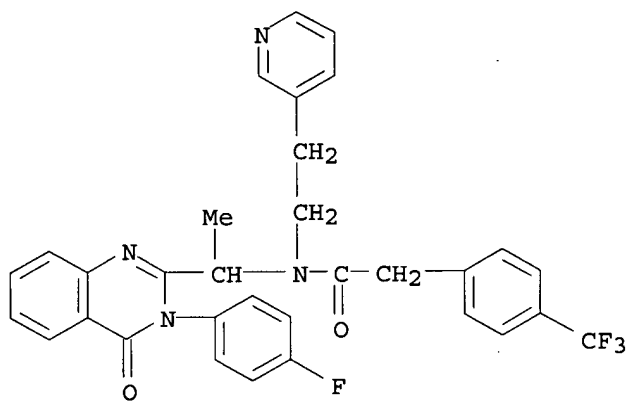
RN 473718-50-2 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



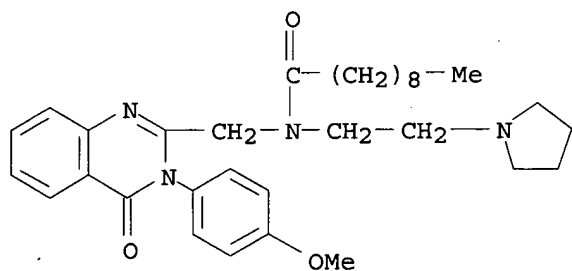
RN 473718-51-3 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(3-pyridinyl)ethyl]-4-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



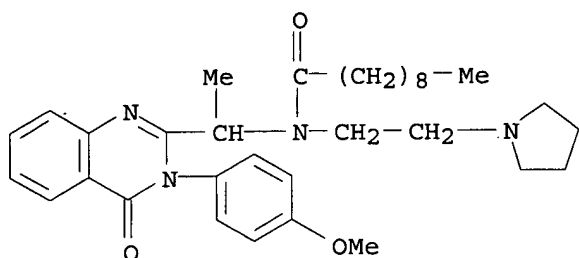
RN 473718-52-4 CAPLUS

CN Decanamide, N-[[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]methyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



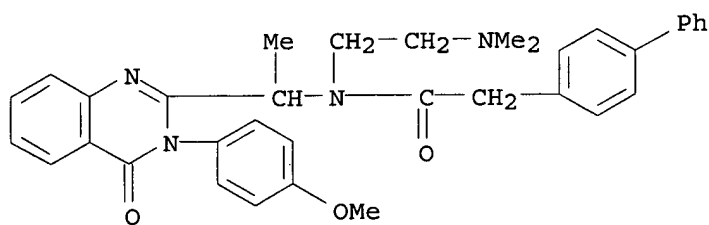
RN 473718-53-5 CAPLUS

CN Decanamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



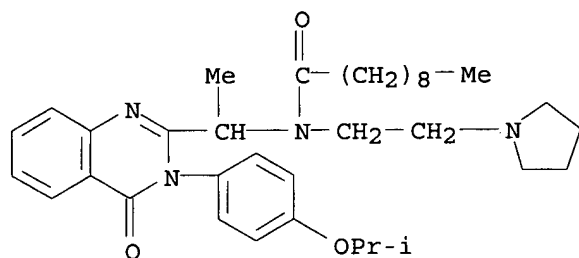
RN 473718-54-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



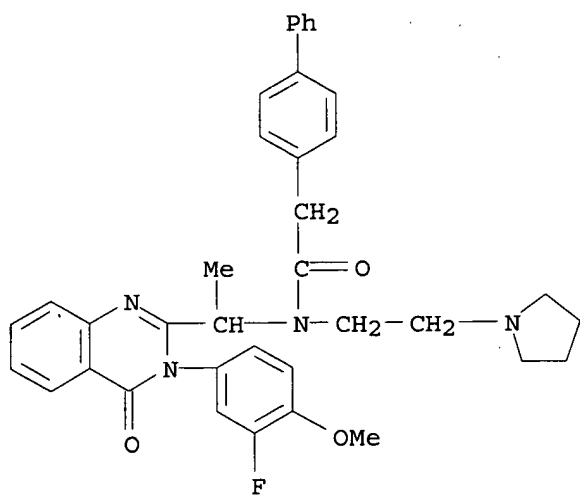
RN 473718-55-7 CAPLUS

CN Decanamide, N-[1-[3,4-dihydro-3-[4-(1-methylethoxy)phenyl]-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

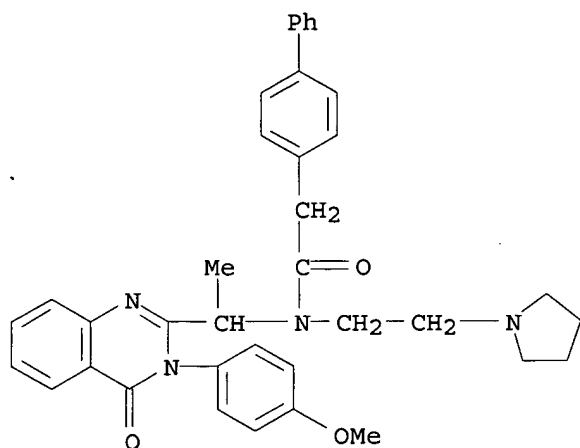


RN 473718-56-8 CAPLUS

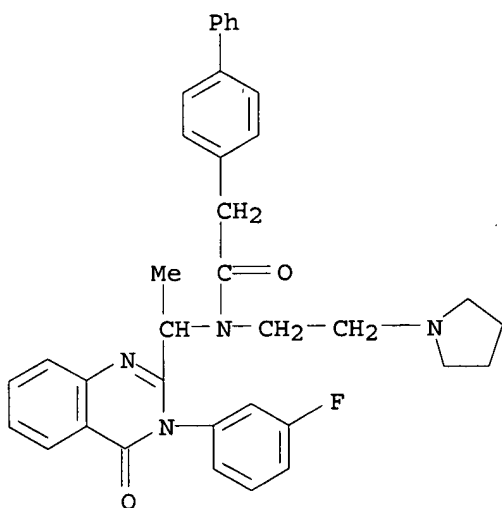
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(3-fluoro-4-methoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 473718-57-9 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

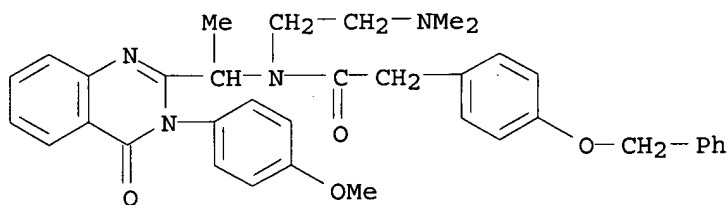


RN 473718-58-0 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(3-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



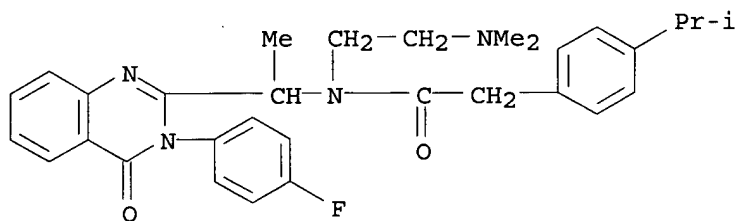
RN 473718-59-1 CAPLUS

CN Benzeneacetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]-4-(phenylmethoxy)- (9CI)
(CA INDEX NAME)



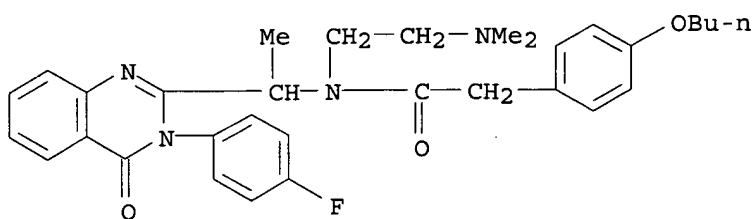
RN 473718-60-4 CAPLUS

CN Benzeneacetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



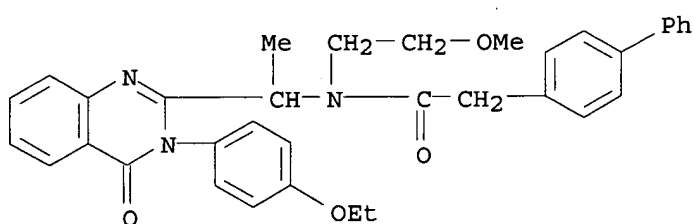
RN 473718-61-5 CAPLUS

CN Benzeneacetamide, 4-butoxy-N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



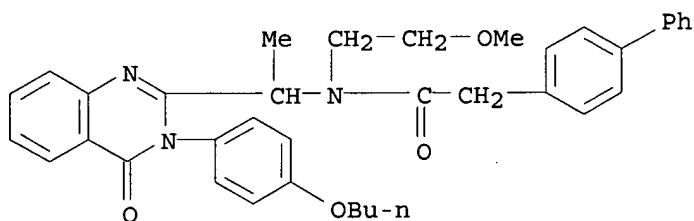
RN 473718-62-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



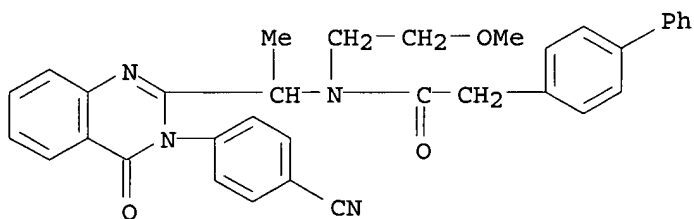
RN 473718-63-7 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-butoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



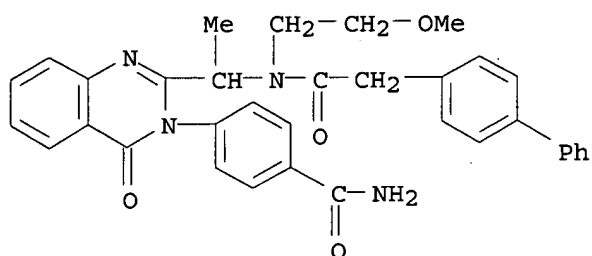
RN 473718-65-9 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



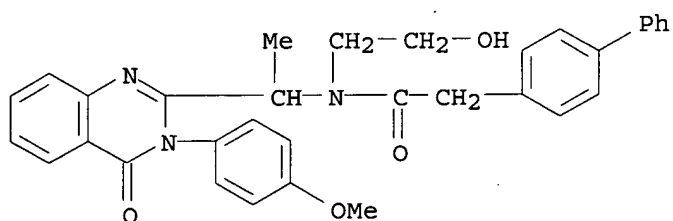
RN 473718-67-1 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-[4-(aminocarbonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



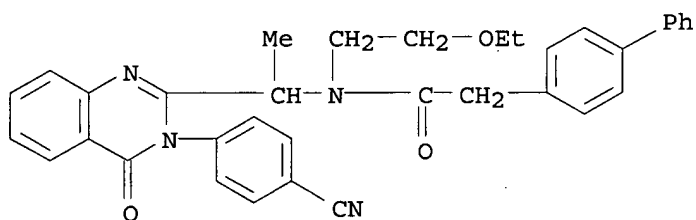
RN 473718-68-2 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



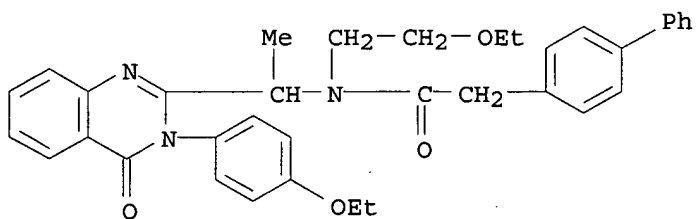
RN 473718-69-3 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



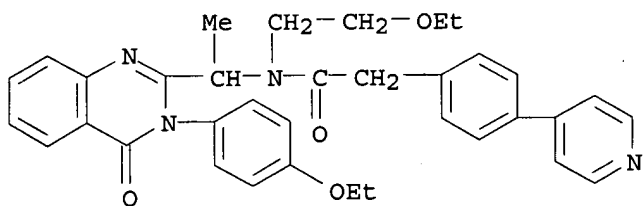
RN 473718-70-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

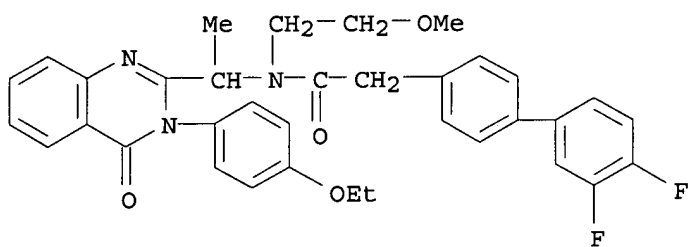


RN 473718-72-8 CAPLUS

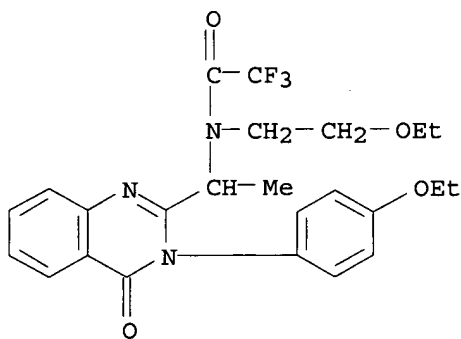
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



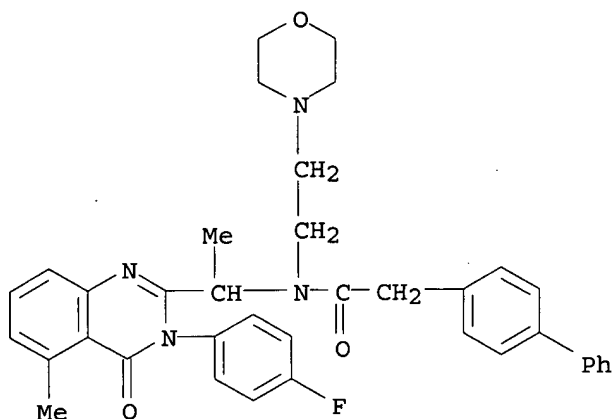
RN 473718-73-9 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3',4'-difluoro-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 473718-75-1 CAPLUS
 CN Acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

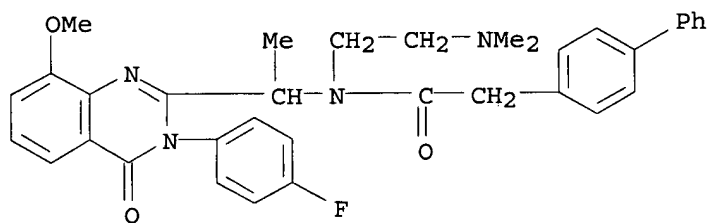


RN 473718-76-2 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



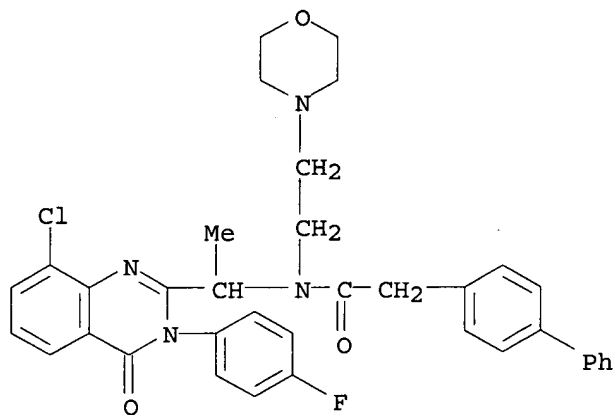
RN 473718-78-4 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-8-methoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI)
(CA INDEX NAME)



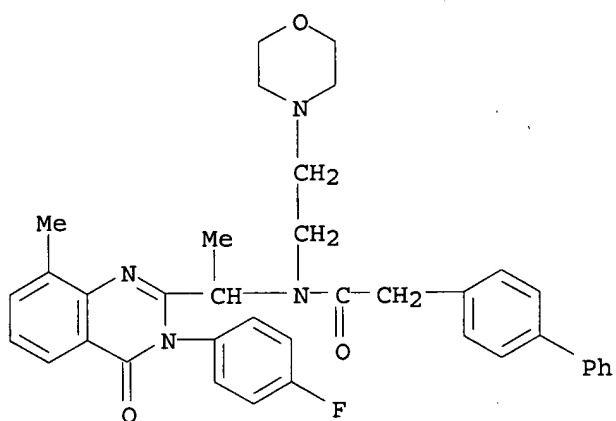
RN 473718-79-5 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[8-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

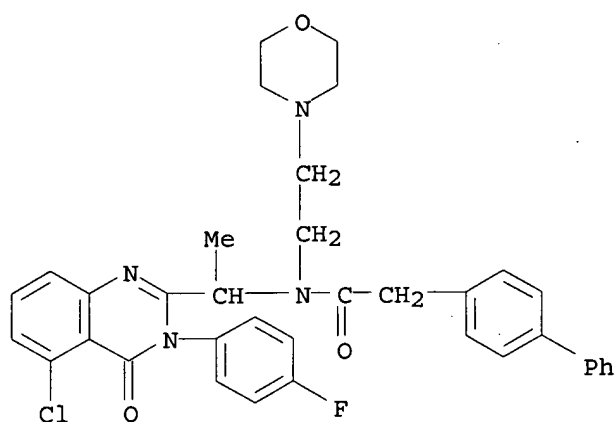


RN 473718-81-9 CAPLUS

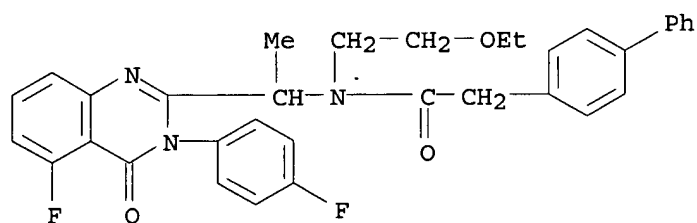
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-8-methyl-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



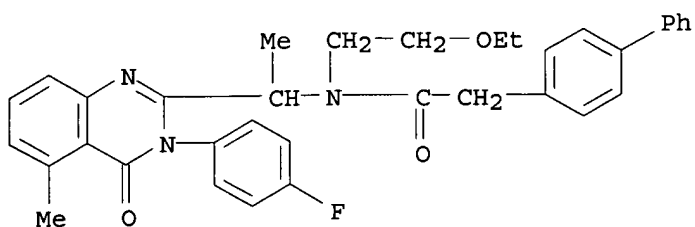
RN 473718-83-1 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[5-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 473718-85-3 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[5-fluoro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

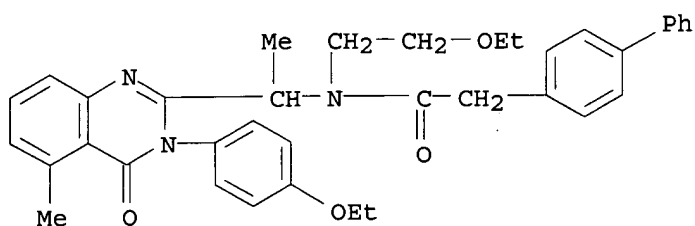


RN 473718-87-5 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



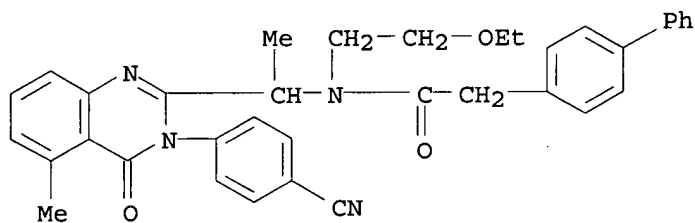
RN 473718-89-7 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



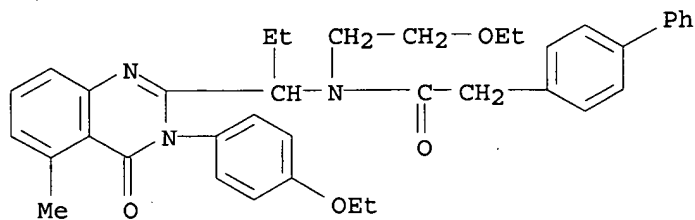
RN 473718-91-1 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



RN 473718-95-5 CAPLUS

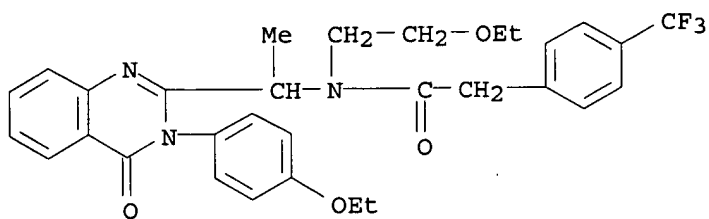
CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-5-methyl-4-oxo-2-quinazolinyl]propyl]- (9CI) (CA INDEX NAME)



RN 473718-97-7 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

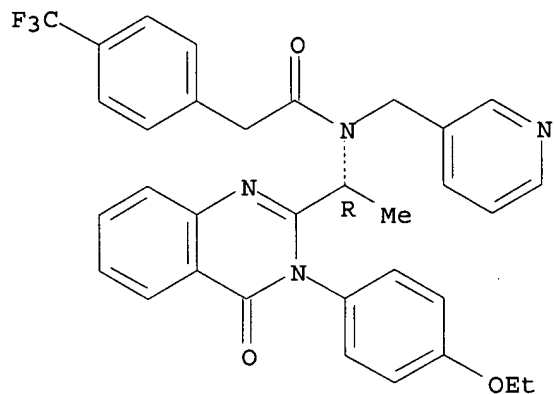
09/ 724,941 Supplemental



RN 473719-01-6 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

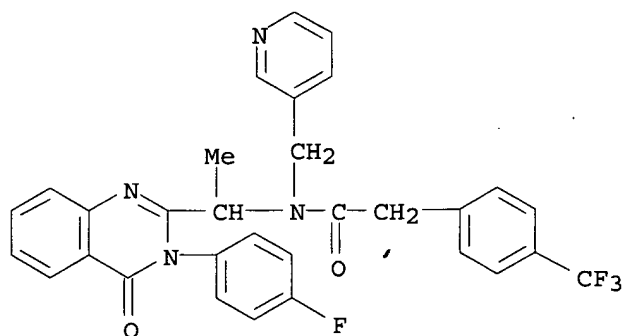
Absolute stereochemistry.



○ HCl

RN 473719-06-1 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

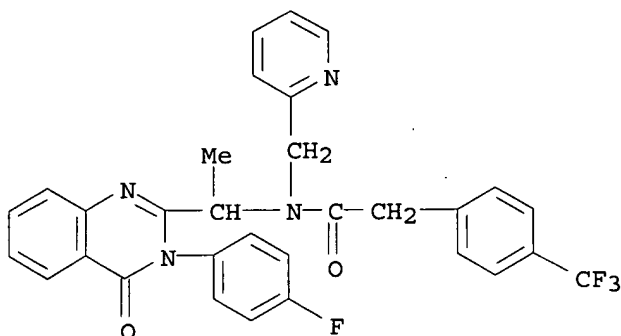


○ HCl

RN 473719-08-3 CAPLUS

09/ 724,941 Supplemental

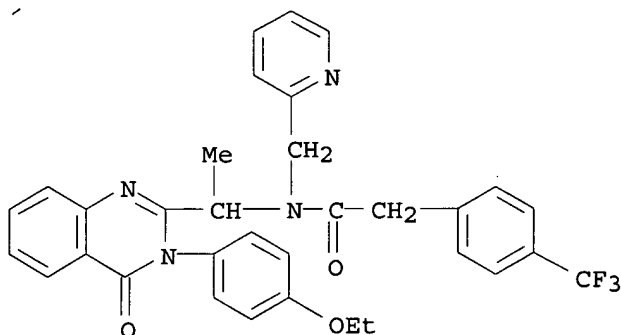
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



O HCl

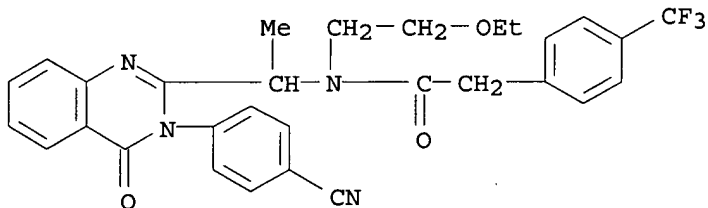
RN 473719-14-1 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



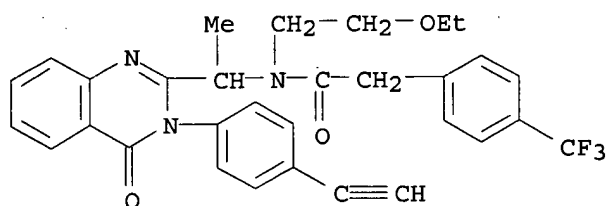
RN 473719-19-6 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

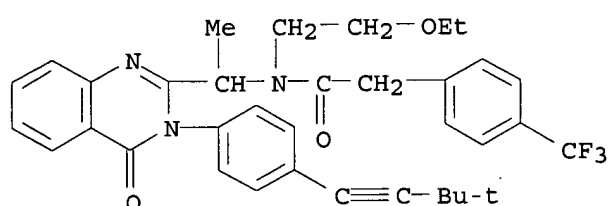


RN 473719-23-2 CAPLUS

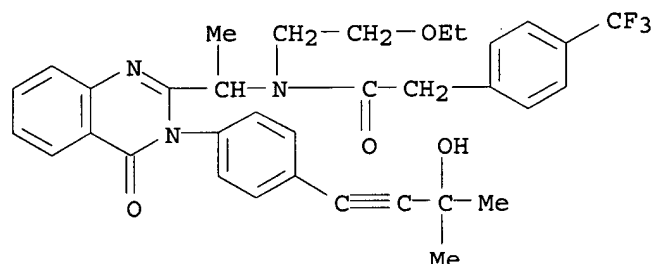
CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethynylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



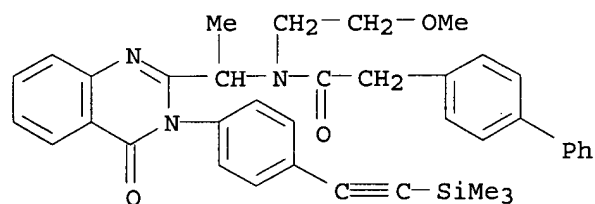
RN 473719-25-4 CAPLUS
 CN Benzeneacetamide, N-[1-[3-[4-(3,3-dimethyl-1-butynyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI)
 (CA INDEX NAME)



RN 473719-27-6 CAPLUS
 CN Benzeneacetamide, N-[1-[3,4-dihydro-3-[4-(3-hydroxy-3-methyl-1-butynyl)phenyl]-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

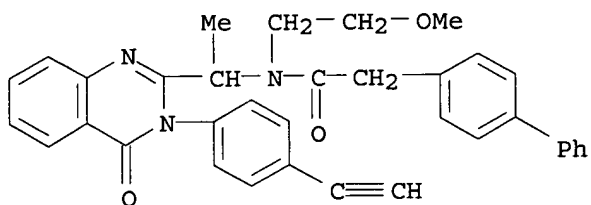


RN 473719-29-8 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-[(trimethylsilyl)ethynyl]phenyl]-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

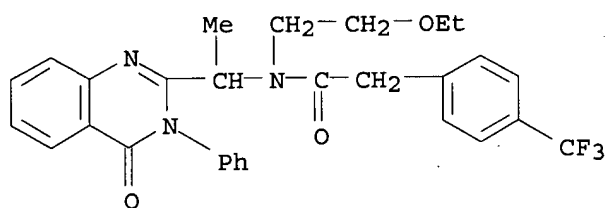


RN 473719-31-2 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethynylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

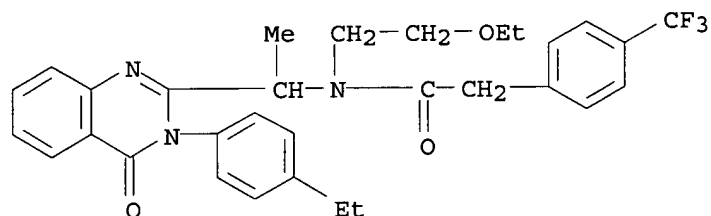
09/ 724,941 Supplemental



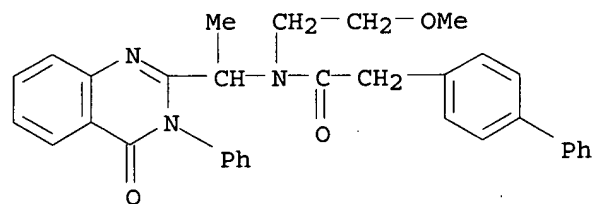
RN 473719-33-4 CAPLUS
 CN Benzeneacetamide, N-[1-(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)ethyl]-N-(2-ethoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



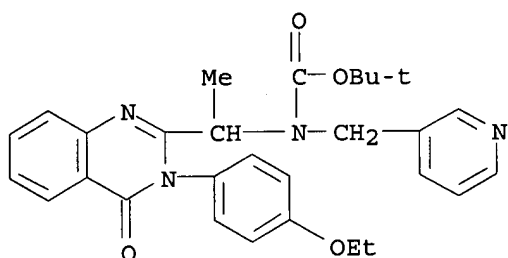
RN 473719-35-6 CAPLUS
 CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-37-8 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



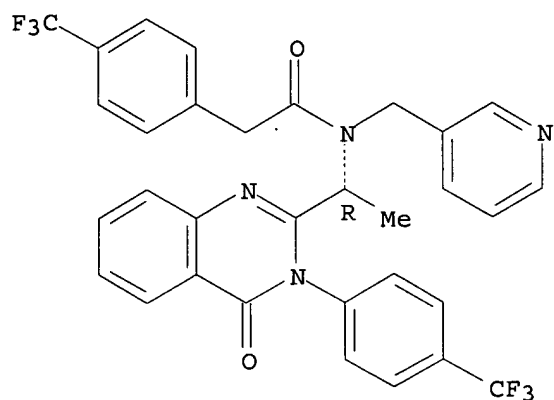
RN 473719-39-0 CAPLUS
 CN Carbamic acid, [1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl](3-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 473719-47-0 CAPLUS

Benzenacetamide, N-[(1R)-1-[3,4-dihydro-4-oxo-3-[4-(trifluoromethyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

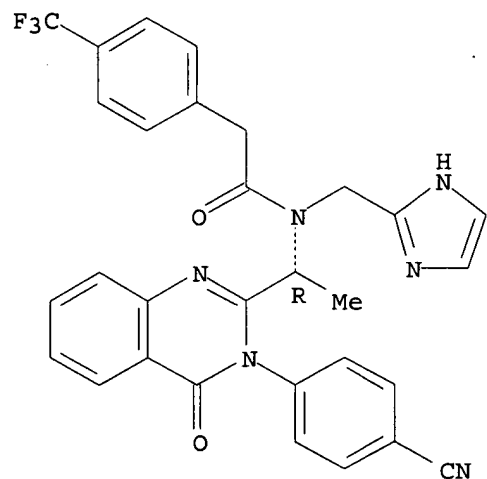
Absolute stereochemistry.



RN 473719-51-6 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-2-ylmethyl)-4-(trifluoromethyl)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



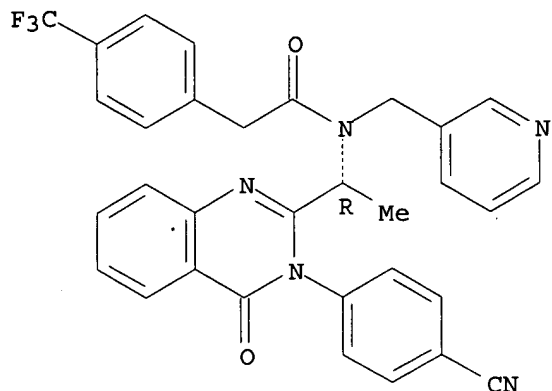
RN 473719-53-8 CAPLUS

Id.	175715-99-9	SMILES
CN	Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-	

09/ 724,941 Supplemental

quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA
INDEX NAME)

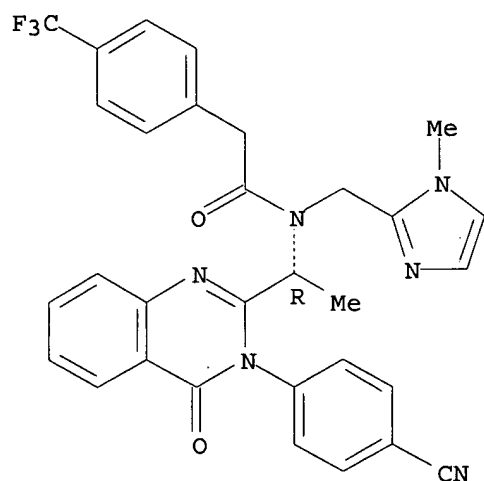
Absolute stereochemistry.



RN 473719-55-0 CAPLUS

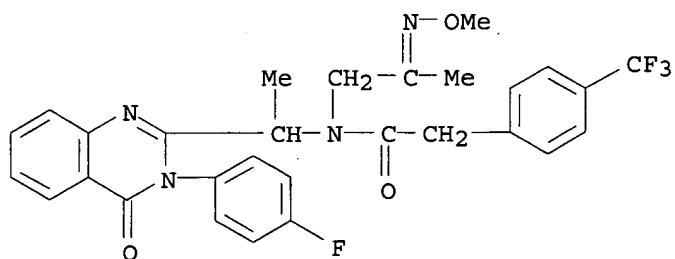
CN Benzeneacetamide, N-[(1R)-1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-
quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-4-
(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

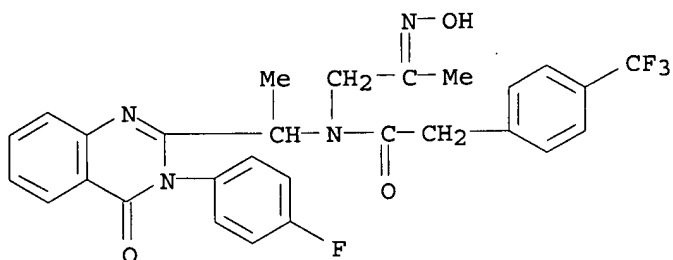


RN 473719-60-7 CAPLUS

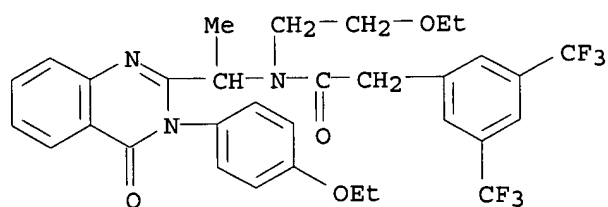
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-
quinazolinyl]ethyl]-N-[2-(methoxyimino)propyl]-4-(trifluoromethyl)- (9CI)
(CA INDEX NAME)



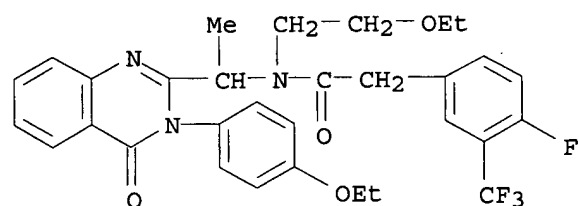
RN 473719-63-0 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(hydroxyimino)propyl]-4-(trifluoromethyl)- (9CI)
 (CA INDEX NAME)



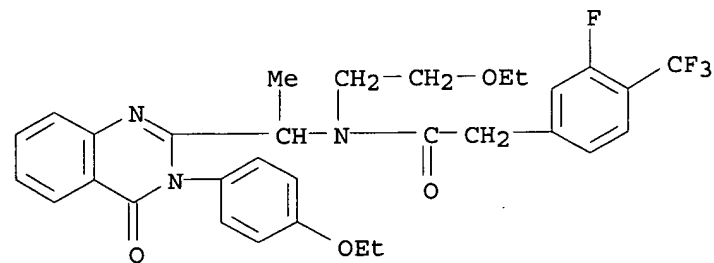
RN 473719-66-3 CAPLUS
 CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-69-6 CAPLUS
 CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

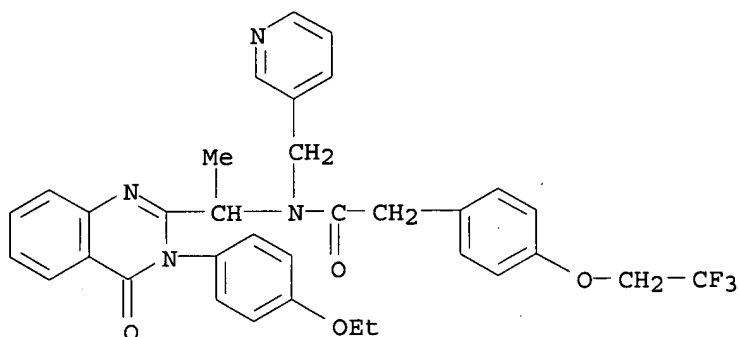


RN 473719-71-0 CAPLUS
 CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



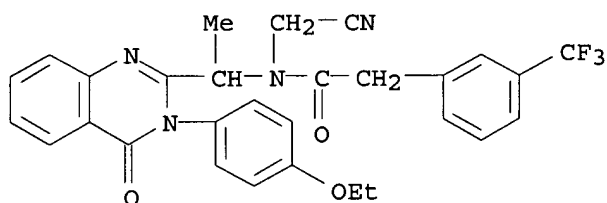
RN 473719-73-2 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(2,2,2-trifluoroethoxy)- (9CI)
(CA INDEX NAME)



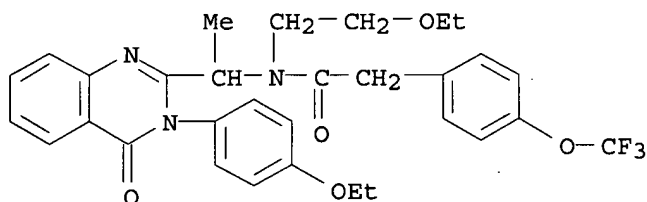
RN 473719-75-4 CAPLUS

CN Benzeneacetamide, N-(cyanomethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



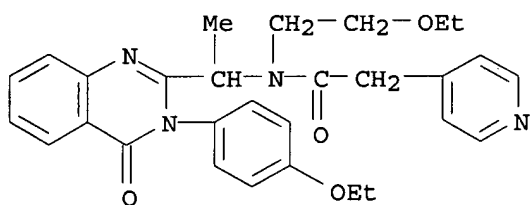
RN 473719-77-6 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 473719-80-1 CAPLUS

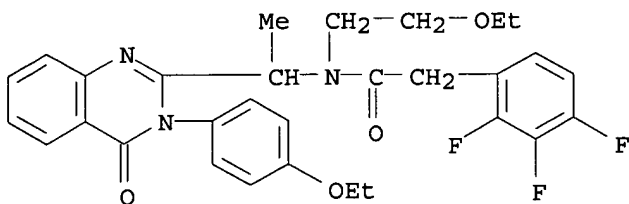
CN 4-Pyridineacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



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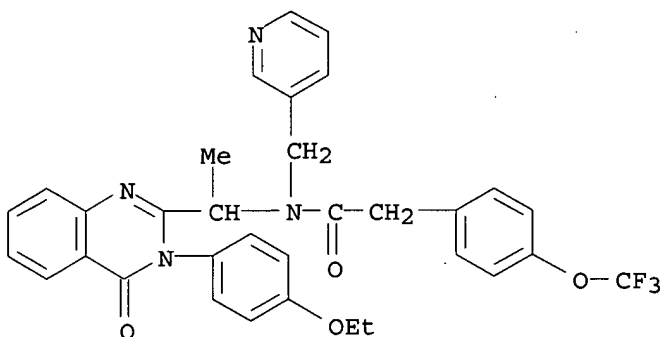
RN 473719-84-5 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-2,3,4-trifluoro- (9CI) (CA INDEX NAME)



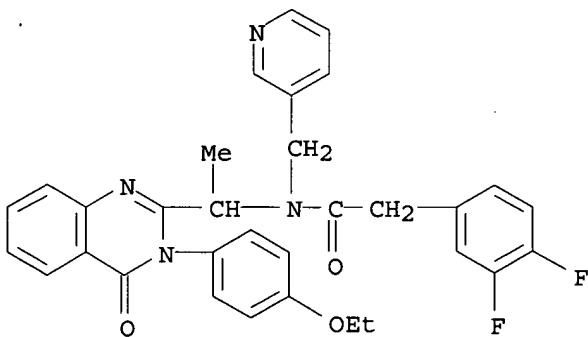
RN 473719-87-8 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 473719-88-9 CAPLUS

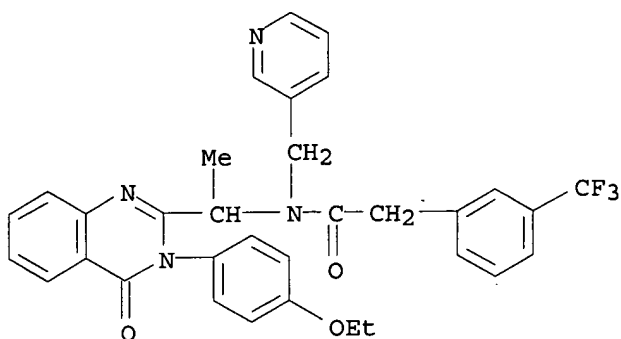
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,4-difluoro-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 473719-89-0 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

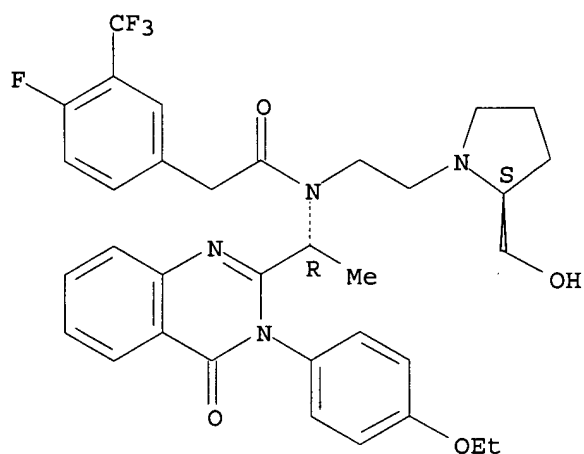
09/ 724,941 Supplemental



RN 473719-92-5 CAPLUS

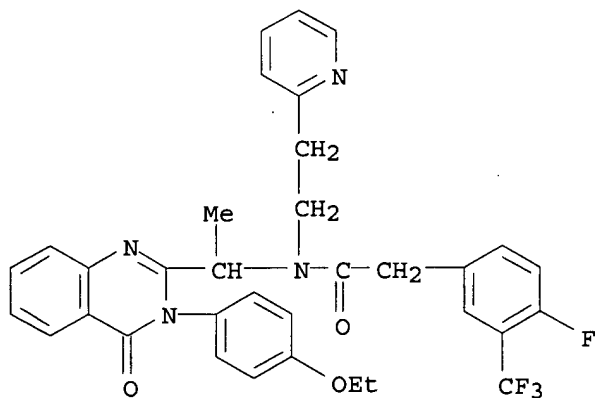
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473719-94-7 CAPLUS

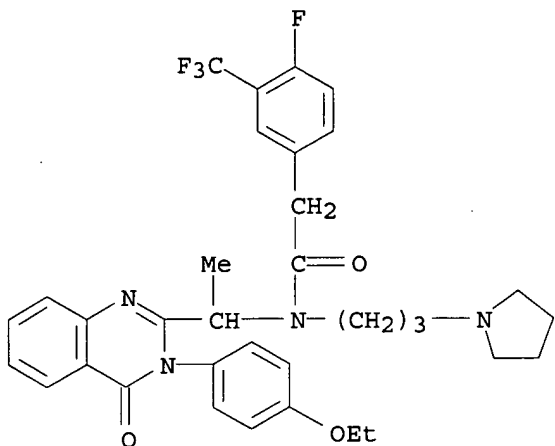
CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[2-(2-pyridinyl)ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473719-98-1 CAPLUS

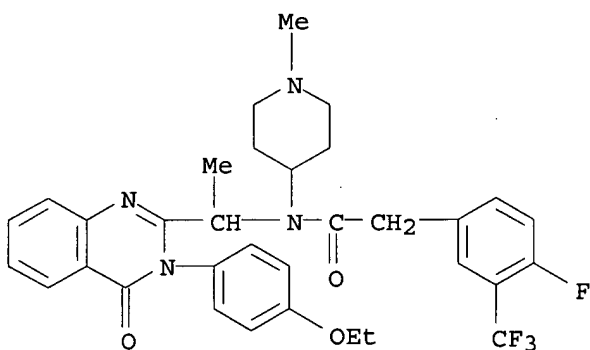
09/ 724,941 Supplemental

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[3-(1-pyrrolidinyl)propyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



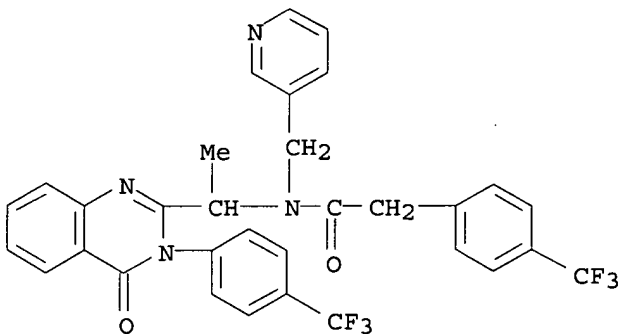
RN 473719-99-2 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-(1-methyl-4-piperidinyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-01-3 CAPLUS

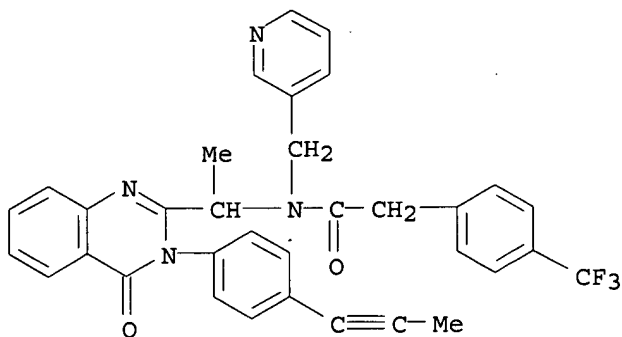
CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(trifluoromethyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



09/ 724,941 Supplemental

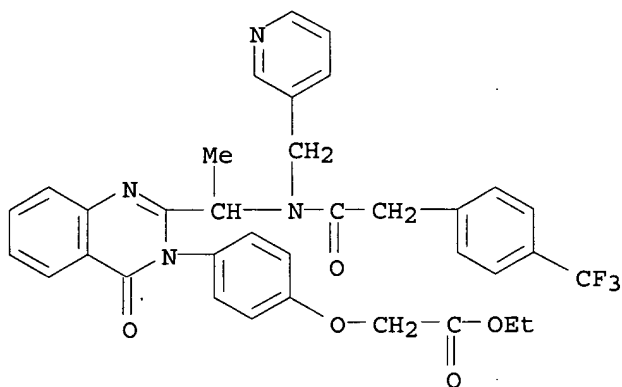
RN 473720-02-4 CAPLUS

CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(1-propynyl)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



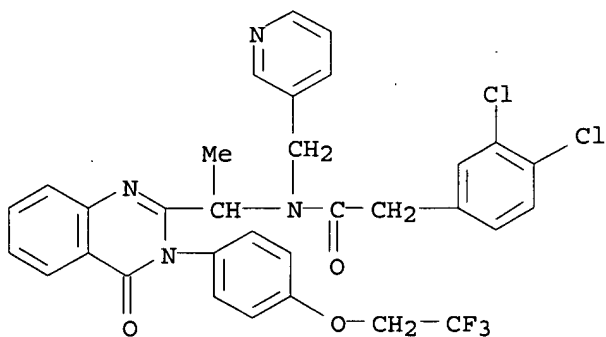
RN 473720-03-5 CAPLUS

CN Acetic acid, [4-[4-oxo-2-[1-[(3-pyridinylmethyl)[4-(trifluoromethyl)phenyl]acetyl]amino]ethyl]-3(4H)-quinazolinyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 473720-04-6 CAPLUS

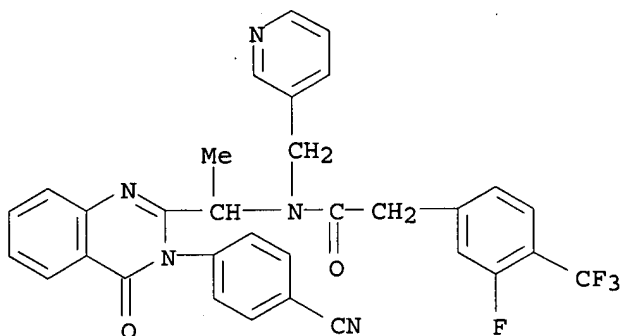
CN Benzeneacetamide, 3,4-dichloro-N-[1-[3,4-dihydro-4-oxo-3-[4-(2,2,2-trifluoroethoxy)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 473720-08-0 CAPLUS

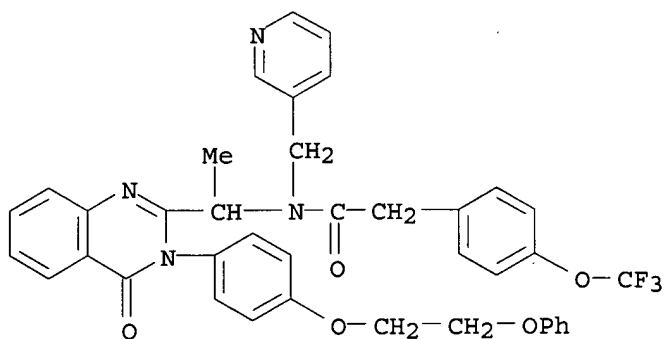
09/ 724,941 Supplemental

CN Benzeneacetamide, N-[1-[3-(4-cyanophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



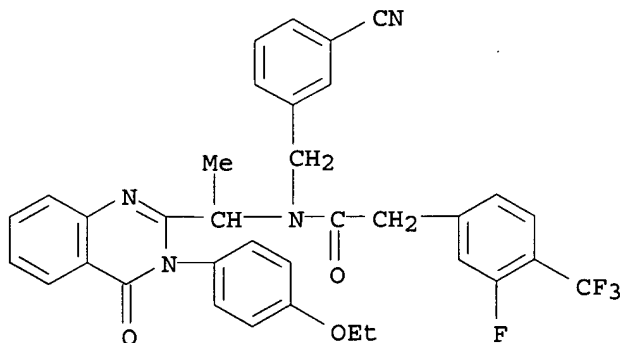
RN 473720-09-1 CAPLUS

CN Benzeneacetamide, N-[1-[3,4-dihydro-4-oxo-3-[4-(2-phenoxyethoxy)phenyl]-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



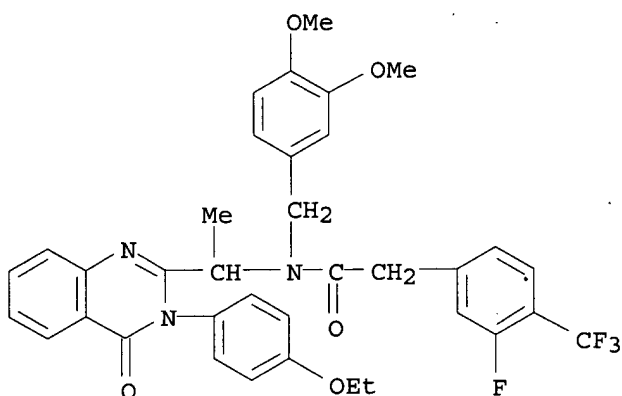
RN 473720-10-4 CAPLUS

CN Benzeneacetamide, N-[(3-cyanophenyl)methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

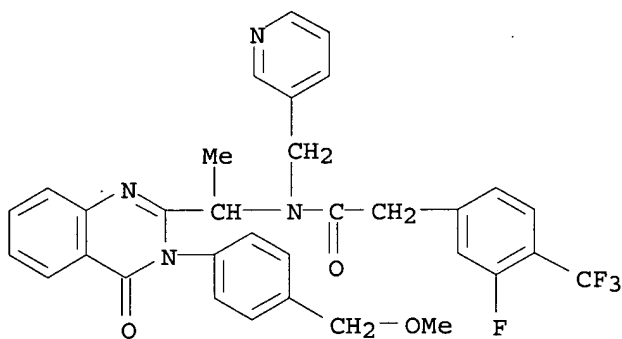


RN 473720-11-5 CAPLUS

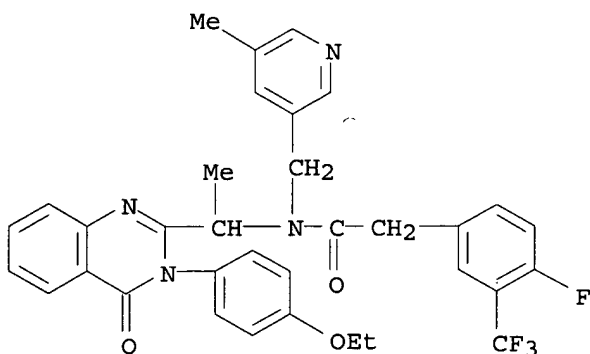
CN Benzeneacetamide, N-[(3,4-dimethoxyphenyl)methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



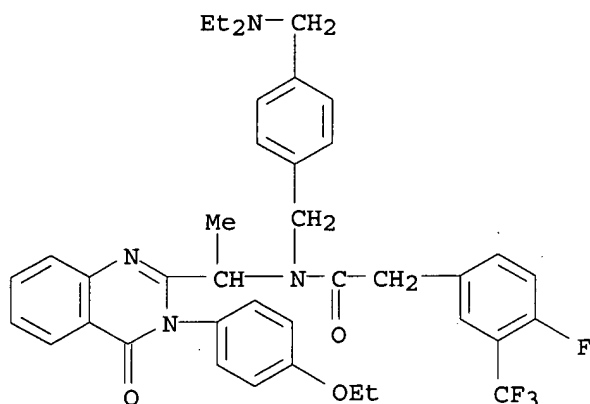
RN 473720-13-7 CAPLUS
 CN Benzeneacetamide, N-[1-[3,4-dihydro-3-[4-(methoxymethyl)phenyl]-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)-
 (9CI) (CA INDEX NAME)



RN 473720-14-8 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[(5-methyl-3-pyridinyl)methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



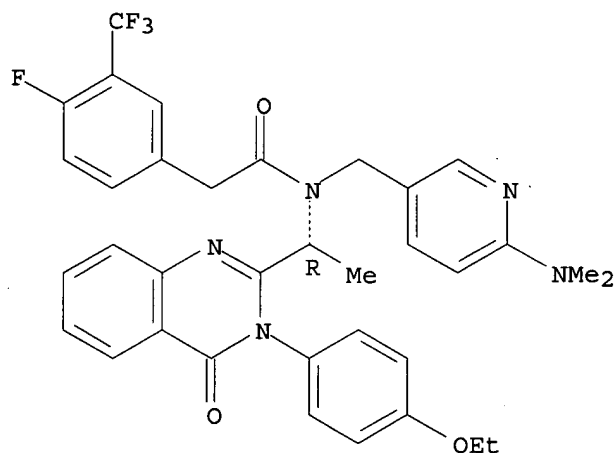
RN 473720-15-9 CAPLUS
 CN Benzeneacetamide, N-[[4-[(diethylamino)methyl]phenyl]methyl]-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-27-3 CAPLUS

CN Benzeneacetamide, N-[[6-(dimethylamino)-3-pyridinyl]methyl]-N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

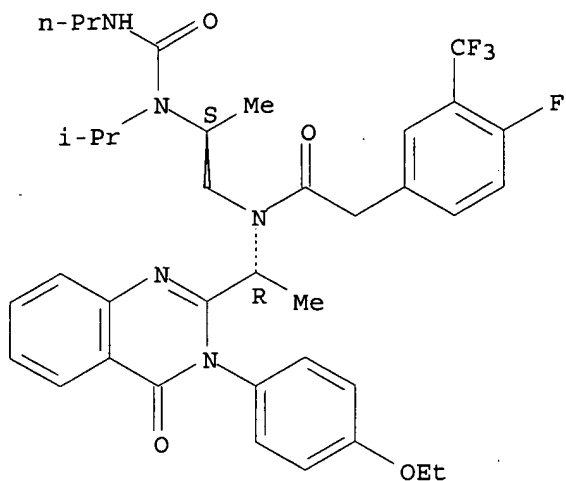
Absolute stereochemistry. Rotation (-).



RN 473720-28-4 CAPLUS

CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[(2S)-2-[(1-methylethyl)[(propylamino)carbo-nyl]aminolpropyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

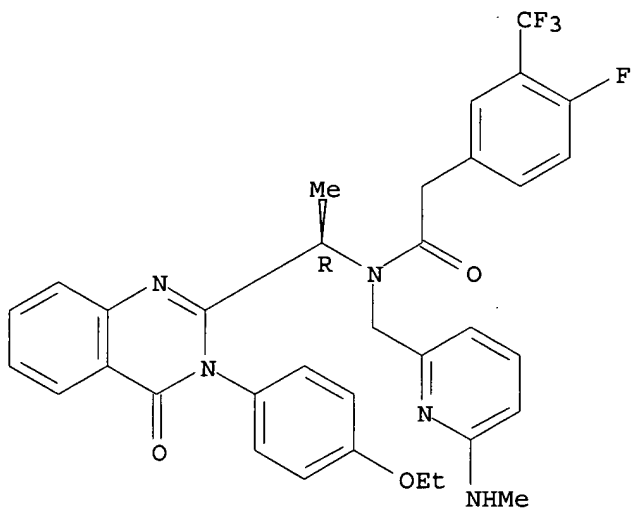
Absolute stereochemistry. Rotation (-).



RN 473720-29-5 CAPLUS

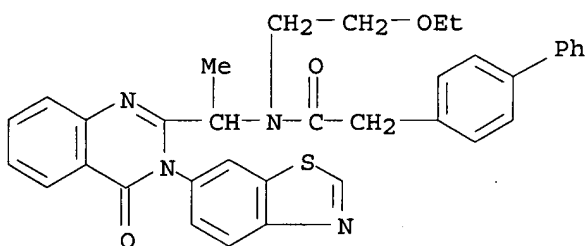
CN Benzeneacetamide, N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-fluoro-N-[[6-(methylamino)-2-pyridinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 473720-31-9 CAPLUS

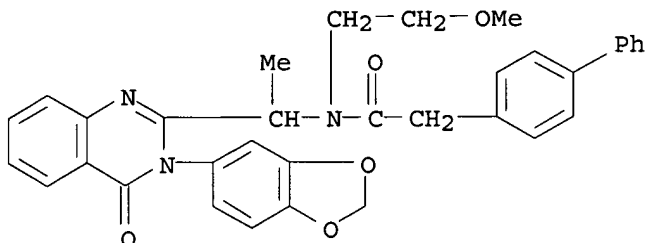
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(6-benzothiazolyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-ethoxyethyl)- (9CI) (CA INDEX NAME)



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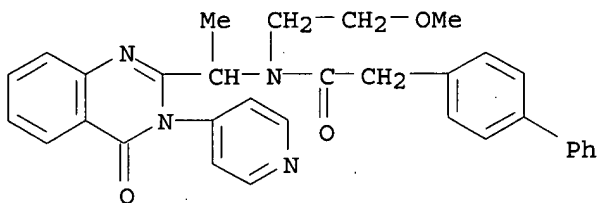
RN 473720-32-0 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(1,3-benzodioxol-5-yl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



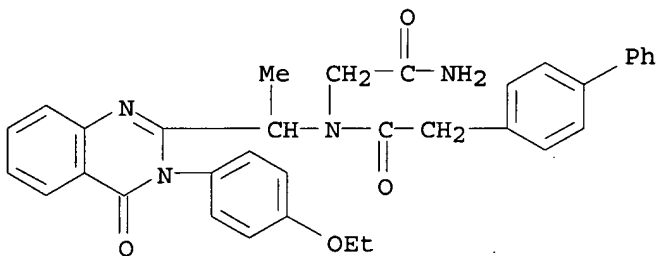
RN 473720-46-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3,4-dihydro-4-oxo-3-(4-pyridinyl)-2-quinazolinyl]ethyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



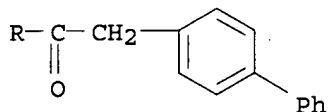
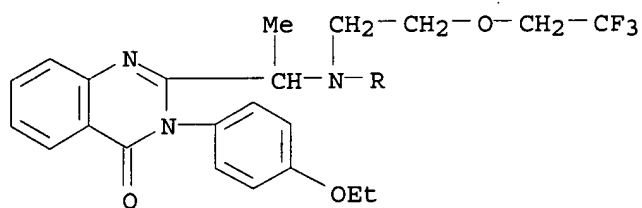
RN 473720-47-7 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(2-amino-2-oxoethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

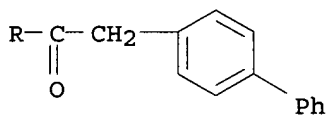
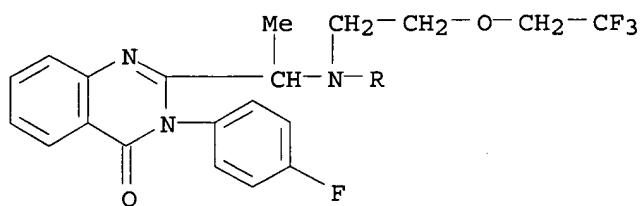


RN 473720-48-8 CAPLUS

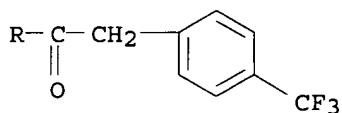
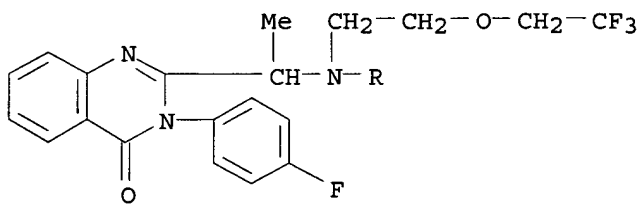
CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]- (9CI) (CA INDEX NAME)



RN 473720-49-9 CAPLUS
 CN [1,1'-Biphenyl]-4-acetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]- (9CI) (CA INDEX NAME)

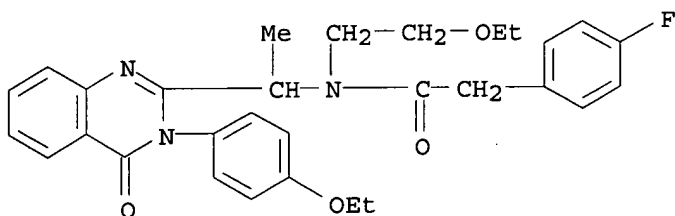


RN 473720-51-3 CAPLUS
 CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(2,2,2-trifluoroethoxy)ethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



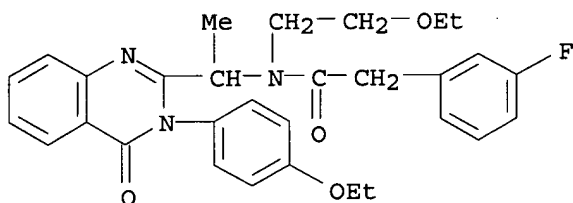
RN 473720-53-5 CAPLUS
 CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-

oxo-2-quinazolinyl]ethyl]-4-fluoro- (9CI) (CA INDEX NAME)



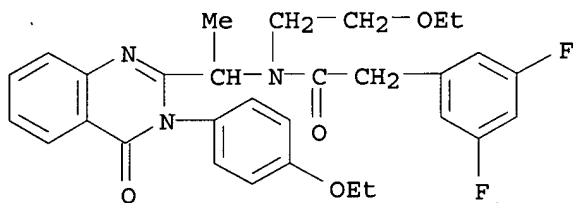
RN 473720-55-7 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro- (9CI) (CA INDEX NAME)



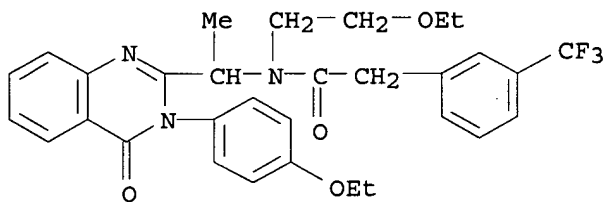
RN 473720-57-9 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3,5-difluoro- (9CI) (CA INDEX NAME)



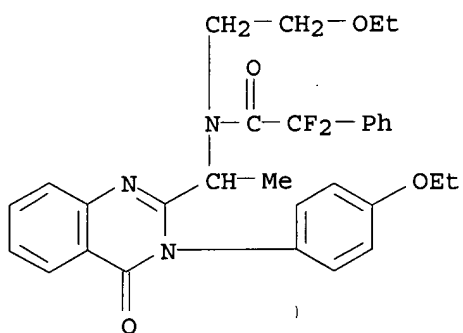
RN 473720-58-0 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



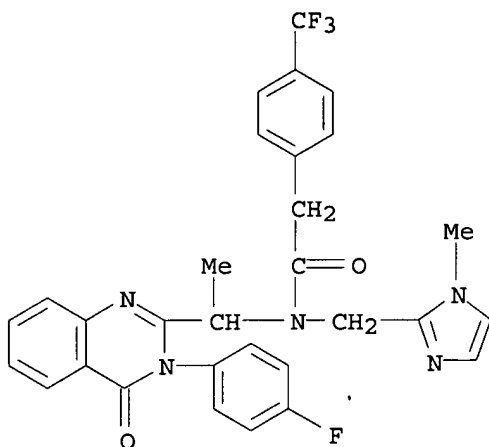
RN 473720-60-4 CAPLUS

CN Benzeneacetamide, N-(2-ethoxyethyl)-N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-.alpha.,.alpha.-difluoro- (9CI) (CA INDEX NAME)



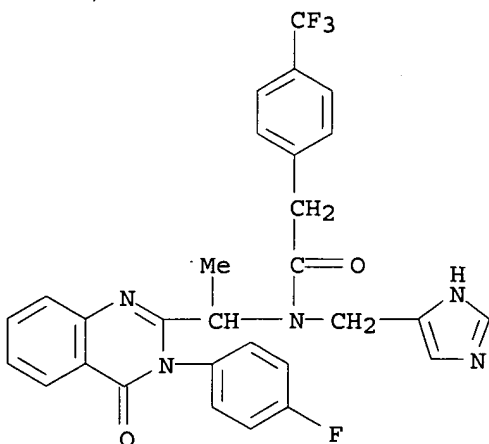
RN 473720-64-8 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473720-65-9 CAPLUS

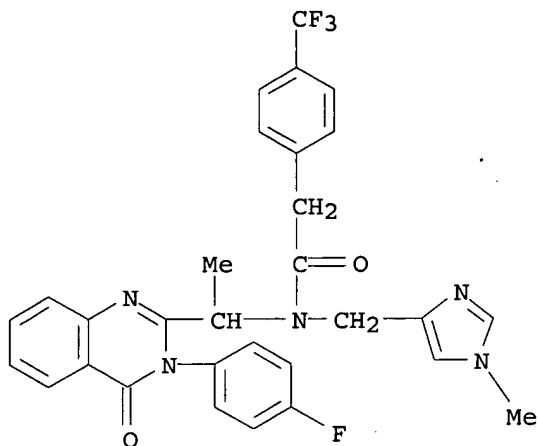
CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(1H-imidazol-4-ylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



09/ 724,941 Supplemental

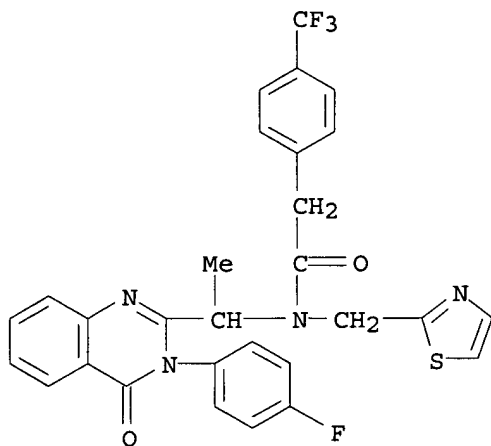
RN 473720-67-1 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[(1-methyl-1H-imidazol-4-yl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



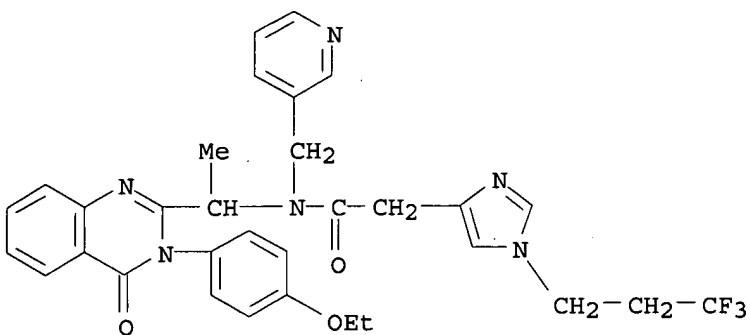
RN 473720-68-2 CAPLUS

CN Benzeneacetamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(2-thiazolylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



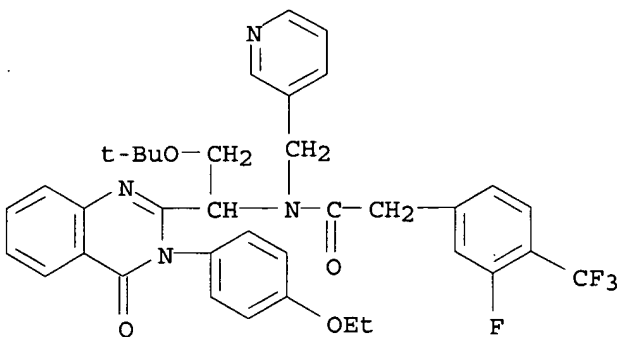
RN 473720-70-6 CAPLUS

CN 1H-Imidazole-4-acetamide, N-[1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-(3-pyridinylmethyl)-1-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)



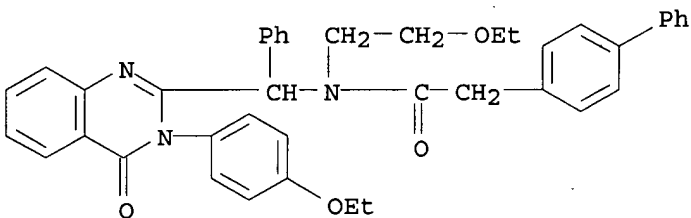
RN 473720-76-2 CAPLUS

CN Benzeneacetamide, N-[2-(1,1-dimethylethoxy)-1-[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-3-fluoro-N-(3-pyridinylmethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 473722-66-6 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-(2-ethoxyethyl)-N-[[3-(4-ethoxyphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]phenylmethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:524028 CAPLUS

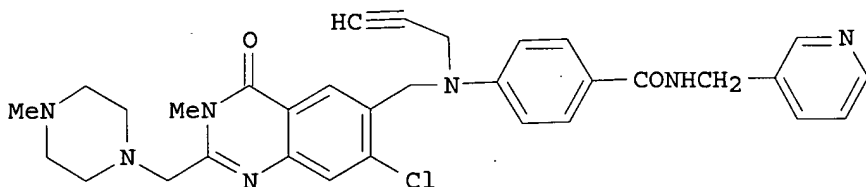
DOCUMENT NUMBER: 137:232613

TITLE: The Design and Synthesis of Water-Soluble Analogues of
CB30865, a Quinazolin-4-one-Based Antitumor Agent

AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell,
F.; Wilson, S. C.; Allan, B.; Jackman, A. L.

CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of
Cancer Research, Chemistry Department, Cancer Research
U.K. Laboratory, Cancer Research U.K., Surrey, SM2

SOURCE: 5NG, UK
Journal of Medicinal Chemistry (2002), 45(17),
3692-3702
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232613
GI



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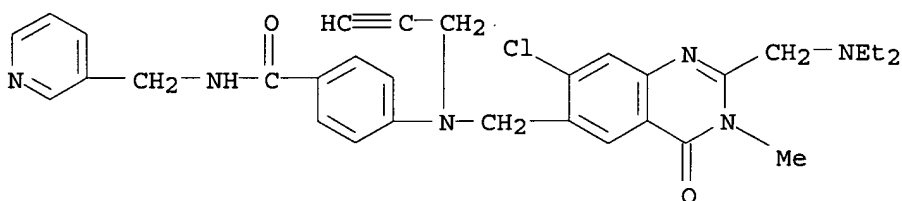
AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC₅₀ = 2.8 \pm 0.50 nM) is believed to have a folate-independent locus of action. In addn., CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aq. soly. of CB30865 prompted a search for more water-sol. analogs for in vivo evaluation of this class of compds. It was thought that aq. soly. could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-sol. than CB30865 (636 μ M for I at pH 6). In addn., some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC₅₀ = 0.49 \pm 0.24 nM) and retained its novel biochem. characteristics.

IT 289715-29-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-sol. analogs of CB30865)

RN 289715-29-3 CAPLUS

CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:935583 CAPLUS

DOCUMENT NUMBER: 136:53759

TITLE: Preparation of N-acylquinazolinonealkylamines as KSP

INVENTOR(S): kinesin inhibitors
Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian;
Smith, Whitney W.; Chabala, John C.; Morgans, David
J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

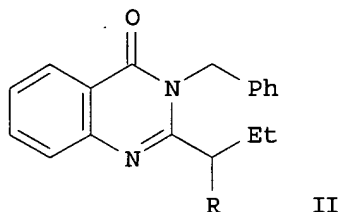
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

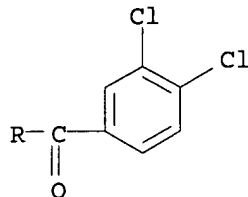
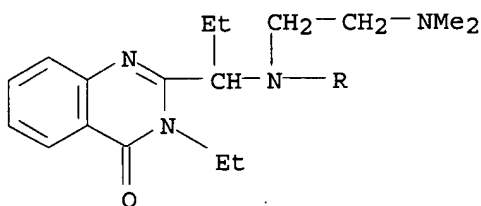
applicant's

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
EP 1296959	A1	20030402	EP 2001-932769	20010427
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-213104P	P 20000621
			US 2000-699047	A 20001024
			US 1999-198253P	P 19991027
			JP 2001-533122	A3 20001026
			WO 2001-US13901	W 20010427
OTHER SOURCE(S):	MARPAT 136:53759			
GI				



AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepd. Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT 288261-76-7P 288261-77-8P 289672-01-1P
299444-93-2P 299446-39-2P 299446-79-0P
303212-68-2P 303212-84-2P 334003-55-3P
334488-92-5P 334488-94-7P 334488-97-0P



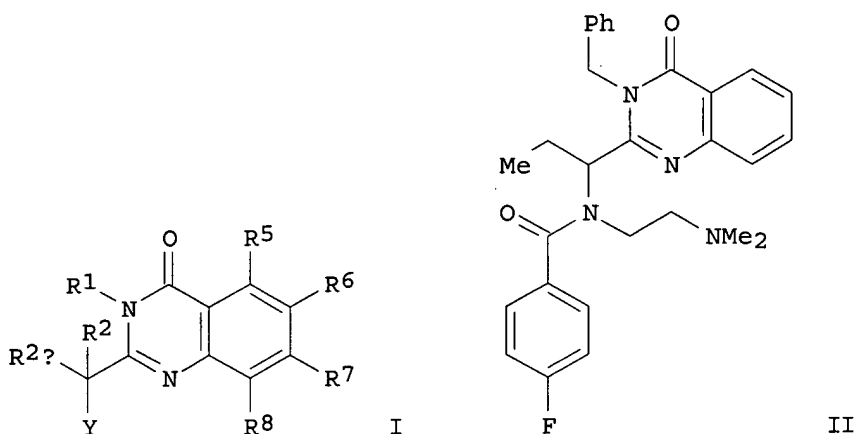
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:319882 CAPLUS
 DOCUMENT NUMBER: 134:326543
 TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
 INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
 SOURCE: PCT Int. Appl., 168 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

applicants

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048881	A2	20030221	JP 2002-156766	20001026
JP 2003512461	T2	20030402	JP 2001-533122	20001026
NO 2002001907	A	20020607	NO 2002-1907	20020423
PRIORITY APPLN. INFO.:				
			US 1999-198253P	P 19991027
			US 2000-213104P	P 20000621
			JP 2001-533122	A3 20001026
			WO 2000-US29585	W 20001026

OTHER SOURCE(S): MARPAT 134:326543
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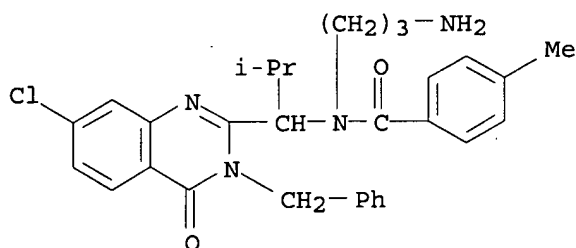
AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepd. by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addn. of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT 336115-13-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336115-13-0 CAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



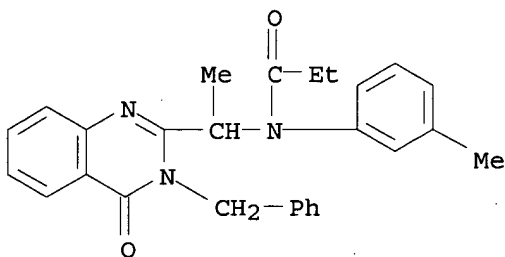
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 303212-68-2P 303212-84-2P 334003-55-3P
 334488-92-5P 334488-94-7P 334488-97-0P
 334488-98-1P 334488-99-2P 334489-01-9P
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 336116-59-7P 336116-61-1P 336116-63-3P
 336116-65-5P 336116-67-7P 336116-69-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 288261-76-7 CAPLUS

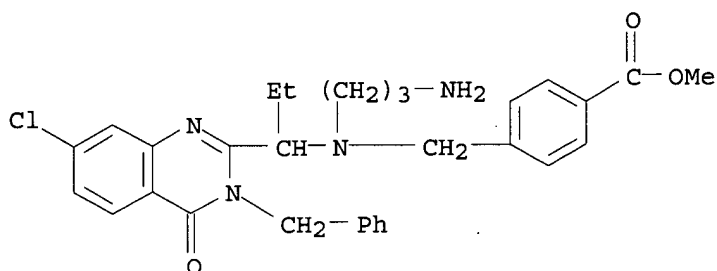
CN Propanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



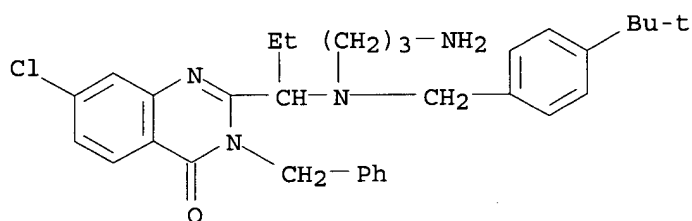
RN 288261-77-8 CAPLUS

CN Butanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

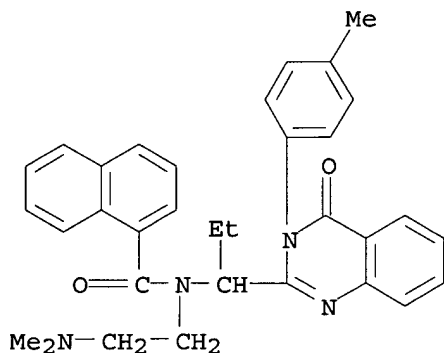
RN 336119-84-7 CAPLUS
 CN Benzoic acid, 4-[[[(3-aminopropyl) [1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]amino]methyl]-, methyl ester (9CI)
 (CA INDEX NAME)



RN 336119-85-8 CAPLUS
 CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl) [[4-(1,1-dimethylethyl)phenyl]methyl]amino]propyl]-7-chloro-3-(phenylmethyl)- (9CI)
 (CA INDEX NAME)



RN 336125-01-0 CAPLUS
 CN 1-Naphthalenecarboxamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

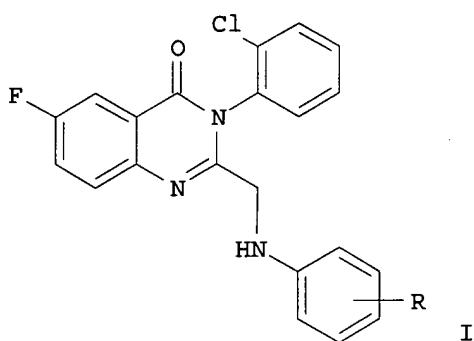


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:290354 CAPLUS
 DOCUMENT NUMBER: 135:76844
 TITLE: Quinazolin-4-one .alpha.-Amino-3-hydroxy-5-methyl-4-isoxazolepropionic Acid (AMPA) Receptor Antagonists:

Structure-Activity Relationship of the C-2 Side Chain
Tether

AUTHOR(S): Chenard, Bertrand L.; Welch, Willard M.; Blake, James F.; Butler, Todd W.; Reinhold, Anthony; Ewing, Frank E.; Menniti, Frank S.; Pagnozzi, Martin J.
CORPORATE SOURCE: Global Research and Development Groton Laboratories, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(11), 1710-1717
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

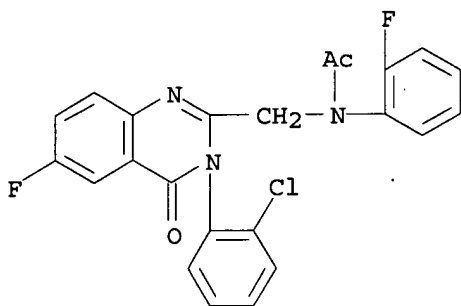


AB A series of 6-fluoro-3-(2-chlorophenyl)quinazolin-4-ones has been prepd., which contains a 2-fluorophenyl ring attached to C-2 by a variety of two-atom tethers. These compds. were used to probe the structure-activity relationship (SAR) for AMPA receptor inhibition. The relative potencies of the new compds. ranged from 11 nM to greater than 10 μ M. The differential activity of the compds. was rationalized on the basis of alterations of the 2-fluorophenyl positioning (planar and radial) relative to the quinazolin-4-one ring based on computational methods. From this effort, new AMPA receptor antagonists I [R = 2-F, 2-CN, 3-CN, 3-pyrrolidinomethyl], contg. the methylamino tether group, have been identified.

IT **346700-93-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of 2-arylaminoethylquinazolinones as AMPA receptor antagonists)

RN 346700-93-4 CAPLUS

CN Acetamide, N-[[3-(2-chlorophenyl)-6-fluoro-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:265417 CAPLUS

DOCUMENT NUMBER: 134:280870

TITLE: Preparation and formulation of quinazolinones and analogs for therapeutic use as local anesthetics

INVENTOR(S): Axt, Sabine A.; Church, Timothy J.; Jacobsen, John R.; Jenkins, Thomas E.; Ji, Yu-hua; Wu, Huiwei

PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

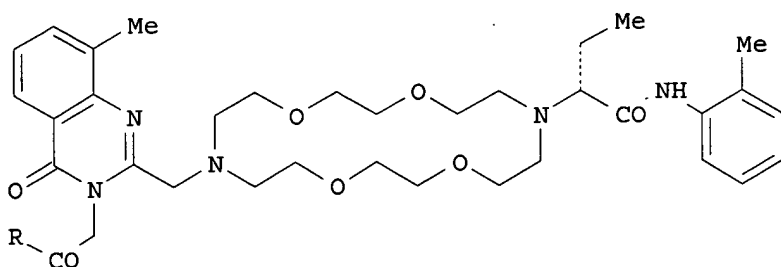
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025234	A1	20010412	WO 2000-US26810	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6355637	B1	20020312	US 2000-671626	20000928
EP 1216243	A1	20020626	EP 2000-968488	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6436919	B1	20020820	US 2000-671630	20000928
PRIORITY APPLN. INFO.:				
			US 1999-157368P	P 19991001
			WO 2000-US26810	W 20000928
OTHER SOURCE(S): MARPAT 134:280870				
GI				



I

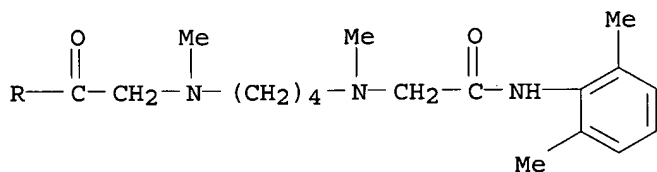
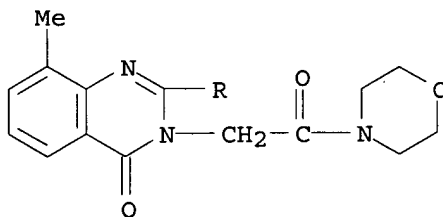
AB Quinazolinones, such as L1-X-L2, [L1 = heterocyclyl, such as quinazolin-2-yl, 3,1-benzoxazin-2-yl, 3,1-benzthiazin-2-yl, etc.; L2 = ArW; Ar = aryl, heteroaryl, cycloalkyl, etc.; W = linking group, such as alkyl, alkylcarbonyloxy, etc.; X = linking group, such as aminoalkylamino, 1,4,10,13-tetraoxa-7,16-diazacyclooctadecan-7,16-diyl, etc.], were prepd. and formulated for use as local anesthetics. Thus, quinazolinone I (R = 4-morpholinyl) was via a multistep synthetic sequence starting from PhCH₂OCONHCH₂CO₂H, morpholine, 3-Me-4-NO₂C₆H₃CO₂H, ClCOCH₂Cl, (R)-MeCH₂CH(NH₂)CO₂H, and H(OCH₂CH₂)₃Cl. The prepd. quinazolinones were tested for anesthetic activity by the whole cell variant of the patch-clamp method and by the rat sciatic nerve sucrose-gap assay. Various pharmaceutical formulations for both topical application and injection were presented.

IT 333794-12-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. and formulation of quinazolin-2-ones, which modulate voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-12-0 CAPLUS

CN Acetamide, 2-[[4-[[2-[3,4-dihydro-8-methyl-3-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-2-quinazolinyl]-2-oxoethyl]methylamino]butyl]methylamino]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



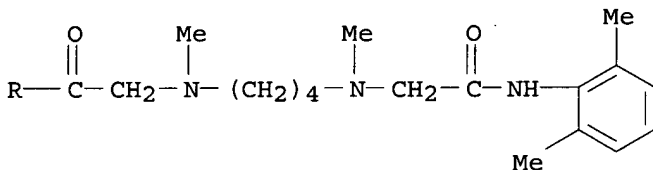
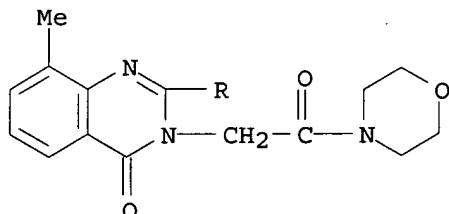
IT 333794-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of quinazolin-2-ones, which modulate

voltage-gated sodium channels, for therapeutic use as local anesthetics)

RN 333794-13-1 CAPLUS

CN Acetamide, 2-[[4-[[2-[3,4-dihydro-8-methyl-3-[2-(4-morpholinyl)-2-oxoethyl]-4-oxo-2-quinazolinyl]-2-oxoethyl]methylamino]butyl]methylamino]-N-(2,6-dimethylphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



O₂ HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208250 CAPLUS

DOCUMENT NUMBER: 134:252352

TITLE: Preparation of 3-aryl-2-aryllureidoalkylquinazolin-4-ones and related compounds as mediators of hedgehog signaling pathways.

INVENTOR(S): Baxter, Anthony David; Boyd, Edward Andrew; Guichert, Oivin M.; Price, Stephen; Rubin, Lee D.

PATENT ASSIGNEE(S): Curis, Inc., USA

SOURCE: PCT Int. Appl., 177 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019800	A2	20010322	WO 2000-US25461	20000915
WO 2001019800	A3	20011206		
WO 2001019800	C2	20021003		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1216234 A2 20020626 EP 2000-963551 20000915
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003509414 T2 20030311 JP 2001-523380 20000915
 US 6545005 B1 20030408 US 2000-663835 20000915

PRIORITY APPLN. INFO.:

US 1999-154526P P 19990916
 US 1999-159412P P 19991014
 US 1999-162899P P 19991101
 WO 2000-US25461 W 20000915

OTHER SOURCE(S): MARPAT 134:252352

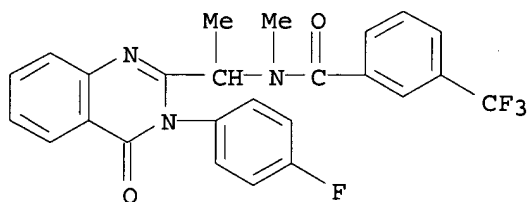
AB R1LX1Y1Z1LX2Y2Z2LR2 [R1, R2 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroarylalkyl; L = null, alkyl, alkenyl, alkynyl, (CH₂)_nO(CH₂)_p, etc.; n, p = 0-10; X1, X2 = NR₈, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; Y1, Y2 = CO, CS, SO₂, SO, C(:NCN), heteroaryl, bond, etc.; Z1, Z2 = NR₈, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; R₈ = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroaralkyl, etc.], were prepd. Thus, triphosgene in EtOAc was added to 4-nitro-3-trifluoromethylaniline in EtOAc followed by stirring and reflux. The mixt. was concd., dissolved in CHCl₃, and treated with 3-(4-fluorophenyl)-2-(1-methylaminoethyl)-4-oxo-3,4-dihydroquinazoline in CHCl₃ to give 97% 1-[1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-3-(3-trifluoromethyl-4-nitrophenyl)-1-methylurea. The latter inhibited sonic hedgehog-induced Gli transcription activity with IC₅₀ <5 .mu.M.

IT 330796-29-7P 330796-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 3-aryl-2-aryluroidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)

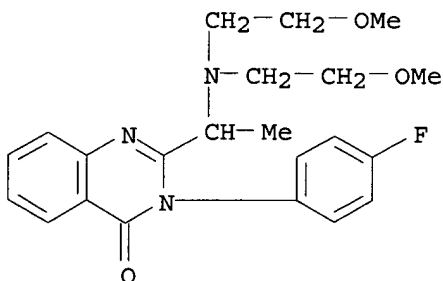
RN 330796-29-7 CAPLUS

CN Benzamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 330796-31-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[bis(2-methoxyethyl)amino]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:167976 CAPLUS

DOCUMENT NUMBER: 134:222723

TITLE: Preparation of quinazolinones for modulating CXR3 function

INVENTOR(S): Schall, Thomas J.; Dairaghi, Daniel J.; McMaster, Brian E.

PATENT ASSIGNEE(S): Chemocentryx, Inc., USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

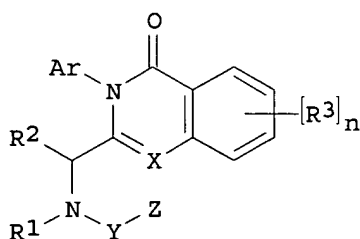
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016114	A2	20010308	WO 2000-US23556	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216232	A1	20020626	EP 2000-959489	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
PRIORITY APPLN. INFO.:			US 1999-151212P	P 19990827
			WO 2000-US23556	W 20000825
OTHER SOURCE(S):			MARPAT 134:222723	
GI				



AB The title compds. [I; n = 0-4; Ar = (un)substituted aryl, heteroaryl; R1 = (un)substituted C5-15 alkyl; R2 = (un)substituted C1-8 alkyl; X = CH, N; Y = (un)substituted alkylene, heteroalkylene; Z = NR4R5 (R4, R5 = H, alkyl; NR4R5 = 5-7 membered ring)] that bind to the CXCR3 chemokine receptor and which are useful for treating diseases assocd. with CXCR3 activity, such as multiple sclerosis, were prepd. E.g., a multi-step synthesis of the quinazolinone I [Ar = 4-C6H4; R1 = decanoyl; R2 = Me; Y = (CH2)2; Z = NMe2; R3 = H] which showed IC50 of 0.8 .mu.M against CXCR3 chemokine receptor binding, was given.

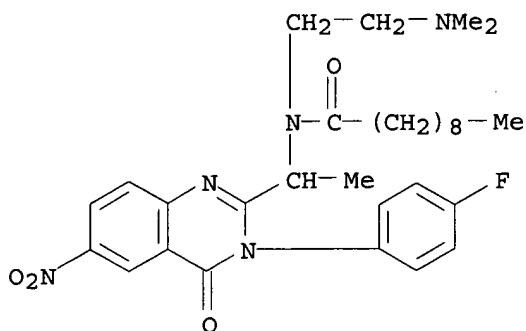
IT 329190-38-7P 329190-41-2P 329190-45-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinones for modulating CXR3 function)

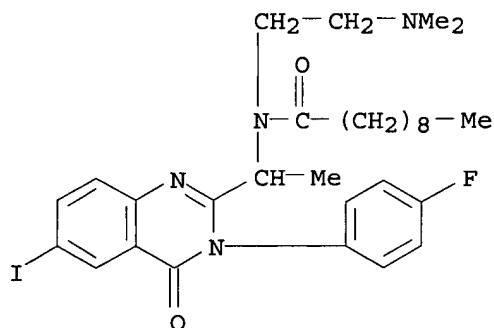
RN 329190-38-7 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-nitro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



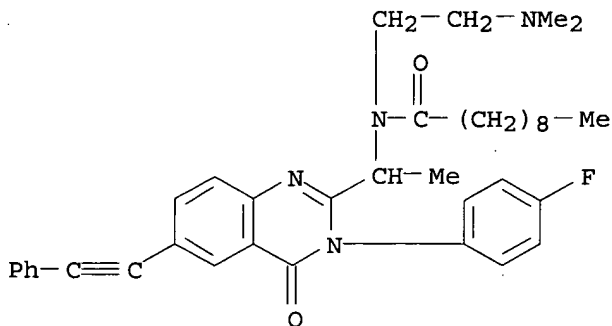
RN 329190-41-2 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-iodo-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 329190-45-6 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-(phenylethynyl)-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



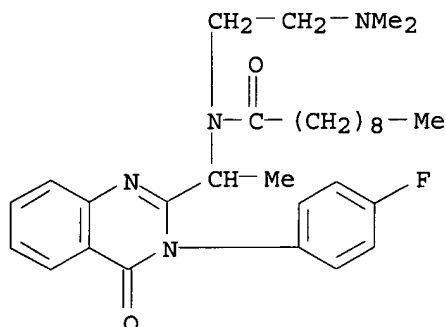
IT 329190-29-6P 329190-30-9P 329190-31-0P
329190-32-1P 329190-33-2P 329190-34-3P
329190-35-4P 329190-37-6P 329190-39-8P

329190-40-1P 329190-42-3P 329190-43-4P
329190-44-5P 329190-46-7P 329190-47-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinones for modulating CXR3 function)

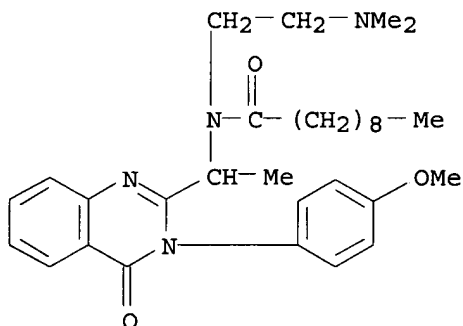
RN 329190-29-6 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



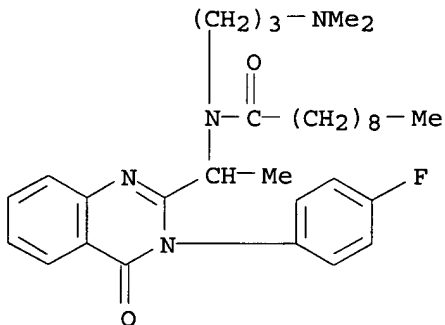
RN 329190-30-9 CAPLUS

CN Decanamide, N-[1-[3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 329190-31-0 CAPLUS

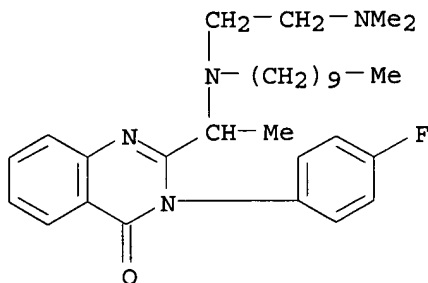
CN Decanamide, N-[3-(dimethylamino)propyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



09/ 724,941 Supplemental

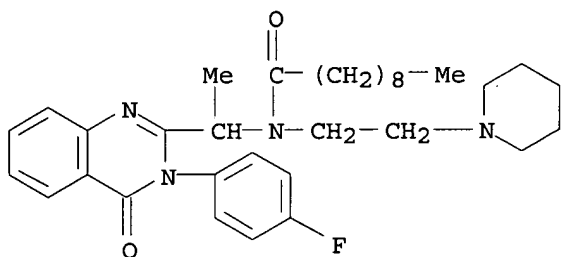
RN 329190-32-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[decyl[2-(dimethylamino)ethyl]amino]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



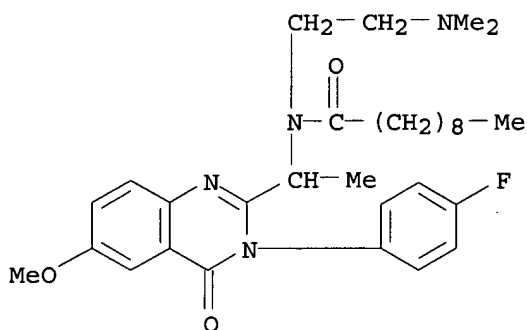
RN 329190-33-2 CAPLUS

CN Decanamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



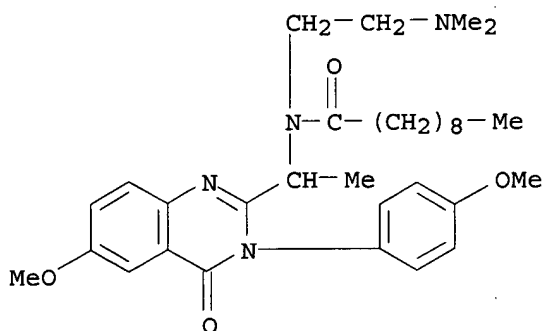
RN 329190-34-3 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-methoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

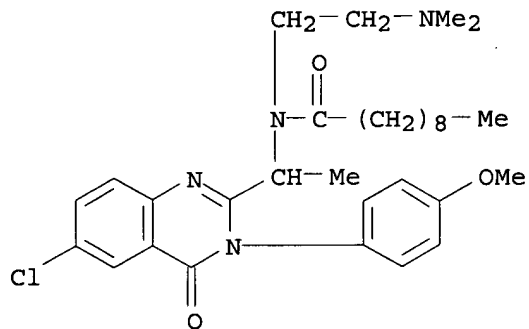


RN 329190-35-4 CAPLUS

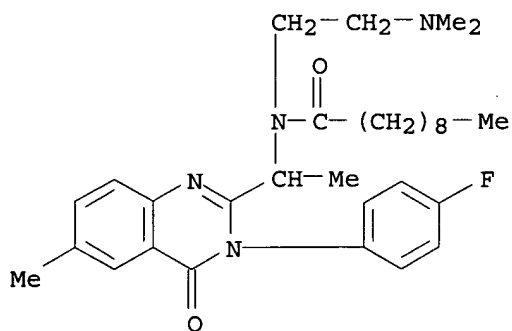
CN Decanamide, N-[1-[3,4-dihydro-6-methoxy-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



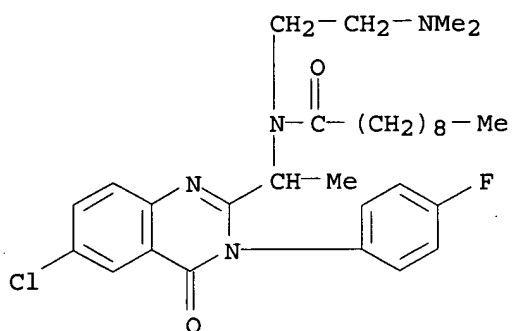
RN 329190-37-6 CAPLUS
 CN Decanamide, N-[1-[6-chloro-3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 329190-39-8 CAPLUS
 CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-methyl-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

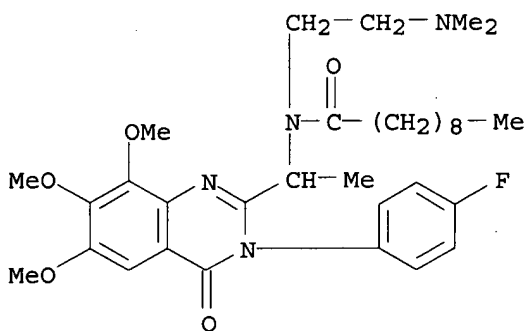


RN 329190-40-1 CAPLUS
 CN Decanamide, N-[1-[6-chloro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



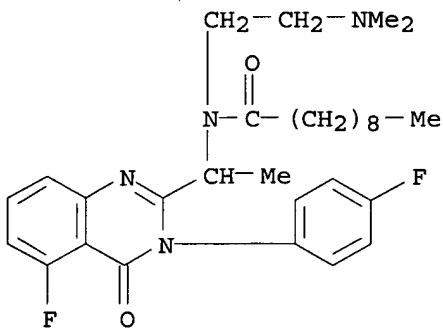
RN 329190-42-3 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6,7,8-trimethoxy-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



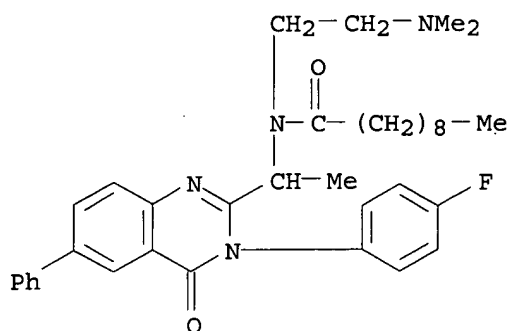
RN 329190-43-4 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[5-fluoro-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

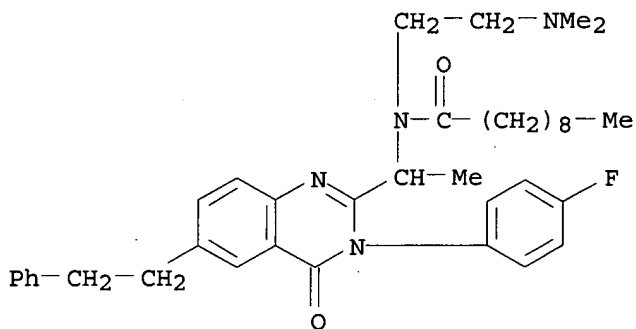


RN 329190-44-5 CAPLUS

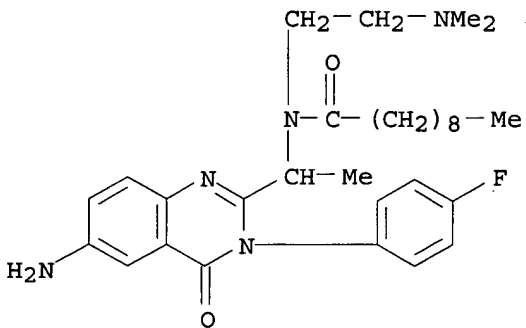
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-phenyl-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 329190-46-7 CAPLUS
 CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-(2-phenylethyl)-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



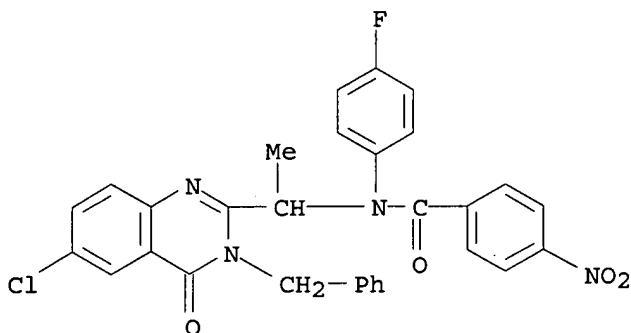
RN 329190-47-8 CAPLUS
 CN Decanamide, N-[1-[6-amino-3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:666928 CAPLUS
 DOCUMENT NUMBER: 133:261508
 TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
 INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
 PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
 SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1161564	A1	20011212	EP 2000-917952	20000315
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1999-124907P P 19990317	
			US 2000-525874 A 20000314	
			WO 2000-US6771 W 20000315	
OTHER SOURCE(S):	MARPAT 133:261508			
AB	A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer contg. an N-peptide and a C-peptide onto a solid-phase, mixing a compd. to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixt. to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compd., adding a monoclonal antibody directed against the trimer of a heterodimer contg. an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compd. targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4-[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfo-phenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfo-phenyl)azo]-2,7-naphthalene disulfonic acid.			
IT	294844-30-7 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (screening of antiviral compds. targeted to HIV-1 gp41 core structure)			
RN	294844-30-7 CAPLUS			
CN	Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)			



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:608742 CAPLUS

DOCUMENT NUMBER: 133:207917

TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity

INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann

PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

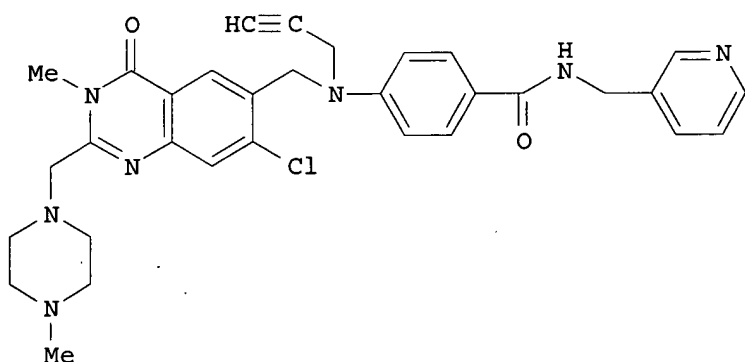
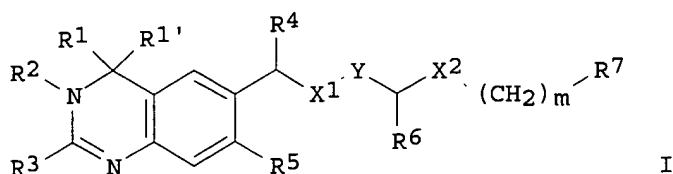
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1155012	A1	20011121	EP 2000-905212	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224
PRIORITY APPLN. INFO.:			GB 1999-4275	A 19990224
			WO 2000-GB655	W 20000224
OTHER SOURCE(S):		MARPAT 133:207917		
GI				



AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)_pA; p = 1-4; A = 5- or 6-membered N-contg. heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepd. for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step prepn. given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP.RTM. in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1L2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addn., II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT 289715-29-3P, CB 300922

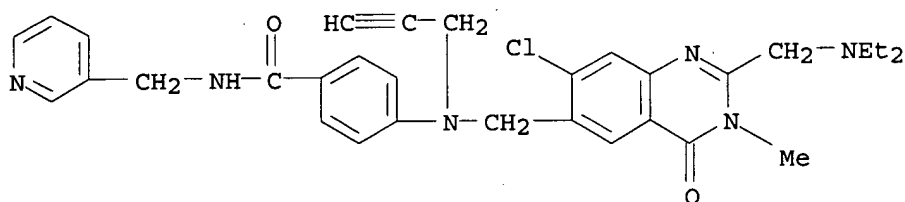
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticancer agent; prepn. of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-29-3 CAPLUS

CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-

oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:499893 CAPLUS

DOCUMENT NUMBER: 131:266552

TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core Structure of the Human Immunodeficiency Virus Type 1
AUTHOR(S): Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo
CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York Blood Center, New York, NY, 10021, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3203-3209

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

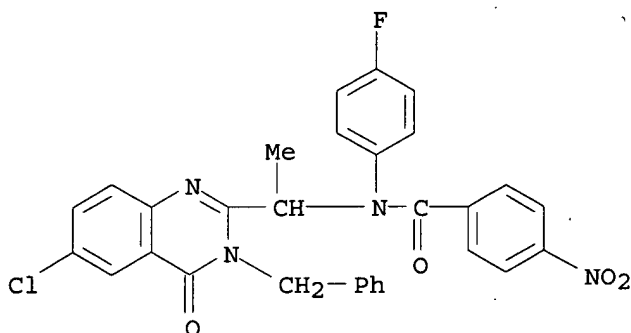
AB Recent X-ray crystallog. detn. of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 org. mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with max. possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

IT 294844-30-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

RN 294844-30-7 CAPLUS

CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:19452 CAPLUS

DOCUMENT NUMBER: 130:177140

TITLE: Correspondence analysis of protein kinase C (PKC) inhibition by bis-basic substituted benzamides

AUTHOR(S): Gilbert, Jacques; Cheminant, Michel; Bignon, Eric; Pons, Michel; Ojasoo, Tiit; Dore, Jean-Christophe
CORPORATE SOURCE: CNRS-SIRCOB, Universite de Versailles/St.

SOURCE: Quentin-en-Yvelines, Versailles, 78000, Fr.
Drug Design and Discovery (1998), 15(4), 253-267, 2 plates

CODEN: DDDIEV; ISSN: 1055-9612

PUBLISHER: Harwood Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of a novel series of bis-basic substituted benzamides and their relative potency in inhibiting rat brain protein kinase alpha (PKC.alpha.) activity were described. None of the compds. inhibited enzyme activity via the catalytic domain but several did via the regulatory domain at 1-5.mu.M concns. Inhibition was comparable to that of several di- and triphenylacrylonitriles and triphenylethylenes. According to a multivariate factor (correspondence) anal. of QSAR descriptors, hydrophobicity (log p) and hydration energy were the most discriminant descriptors, much more so than mol. mass, molar refractivity, polarizability, mol. vol. and solvent-accessible surface. Inhibitory activity was correlated with high hydrophobicity and low hydration energy. The higher potency of N,N'-oxalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL9) that differed from its congener by the presence of an oxamide rather than succinamide moiety was tentatively explained by the greater neg. charges assocd. with the carbonyl groups of its oxamide residue. The higher potency of N,N'-terephthalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL22) in which an arom. ring is inserted between two benzamide moieties in para,para' rather than ortho,ortho' positions might be due to a planar conformation facilitating membrane insertion. In conclusion, correspondence anal. is a neat way of highlighting similarities and differences in mol. properties (QSAR descriptors and potency). Therapeutic doses of many classes of drug might interfere with the regulatory domain of PKC.alpha. if, like the test-compds., they have basic side-chain(s), high hydrophobicity, low hydration energy, a planar conformation and/or a highly charged reactive (oxamide) moiety. The compds. thus prepd. were tested against tamoxifen and analogs thereof.

IT 220583-08-4P

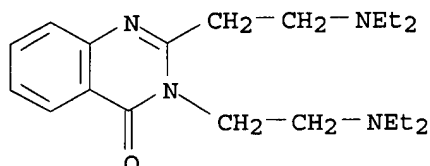
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(prepn. and protein kinase C-inhibiting activity of benzamide derivs.)

RN 220583-08-4 CAPLUS

CN 4(3H)-Quinazolinone, 2,3-bis[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:31844 CAPLUS

DOCUMENT NUMBER: 124:176006

TITLE: Quinazoline Antifolate Thymidylate Synthase Inhibitors: Lipophilic Analogs with Modification to the C2-Methyl Substituent

AUTHOR(S): Hennequin, Laurent F.; Boyle, F. Thomas; Wardleworth, J. Michael; Marsham, Peter R.; Kimbell, Rosemary; Jackman, Ann L.

CORPORATE SOURCE: Centre de recherches, Zeneca Pharma, Reims, 51064, Fr.

SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 695-704

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Modification of the potent thymidylate synthase (TS) inhibitor 1-[[N-[4-[N-[(3,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-N-prop-2-ynylamino]benzoyl]amino]methyl]-3-nitrobenzene (1) has led to the synthesis of quinazolinone antifolates bearing functionalized alkyl substituents at C2. A general synthetic route was developed which involved coupling the appropriate 1-[[N-[4-(alkylamino)benzoyl]amino]methyl]-3-nitrobenzene with a 6-(bromomethyl)-2-(acetoxymethyl)-3,4-dihydro-4-oxoquinazoline. Good TS (IC₅₀ <1 .mu.M) and growth inhibition (IC₅₀ 0.1-1 .mu.M) were found with most of these new antifolates. TS inhibitors in this series do not apparently require the reduced folate carrier (RFC) for cell entry (they most likely penetrate the cell membrane by passive diffusion) and are not polyglutamated. N, O, S, Cl, and CN as well as large amino and mercapto substituents were tolerated by the enzyme. The simultaneous incorporation of 7-Me and 2'-F substituents gave a series of highly potent agents inhibiting cell growth at concns. <1 .mu.M. The incorporation of suitable C2 substituents has overcome the decrease in aq. soly. obsd. with lipophilic quinazoline antifolates.

IT 173952-10-8P 173952-14-2P

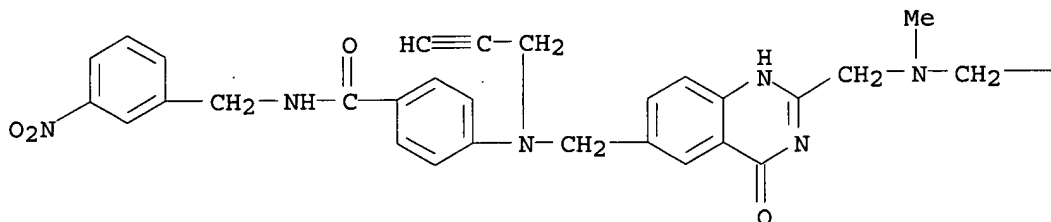
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of quinazoline antifolate thymidylate synthase inhibitors)

RN 173952-10-8 CAPLUS

CN Benzamide, 4-[[[2-[[2-(dimethylamino)ethyl]methylamino]methyl]-1,4-dihydro-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

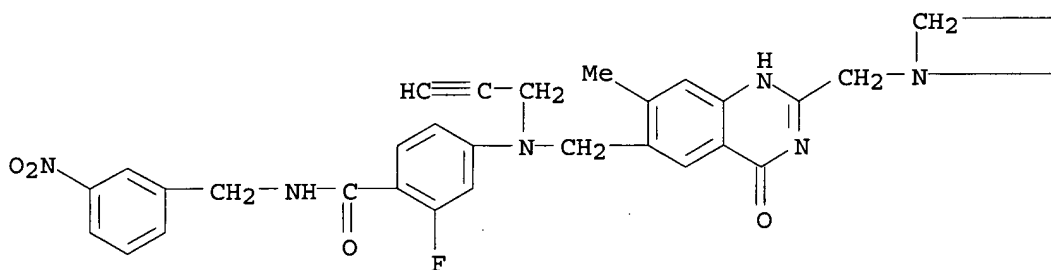


PAGE 1-B

—CH₂—NMe₂

RN 173952-14-2 CAPLUS
 CN Benzamide, 4-[[[2-[[bis(2-hydroxyethyl)amino]methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluoro-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



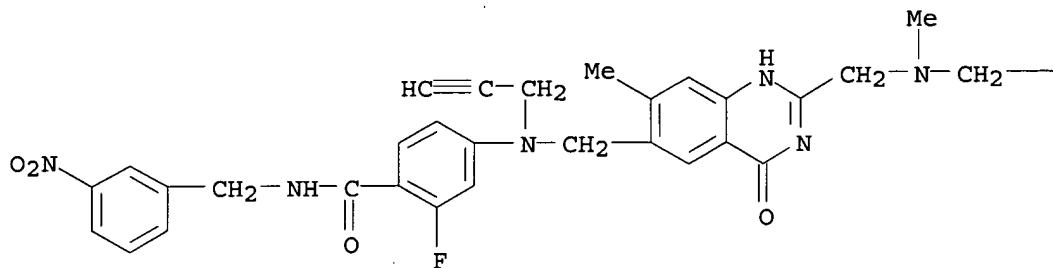
PAGE 1-B

—CH₂—OH

—CH₂—CH₂—OH

IT 173952-30-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of quinazoline antifolate thymidylate synthase inhibitors)
 RN 173952-30-2 CAPLUS
 CN Benzamide, 4-[[[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluoro-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

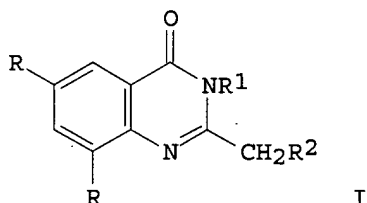
PAGE 1-A



PAGE 1-B

—CH₂—NMe₂

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1986:497416 CAPLUS
 DOCUMENT NUMBER: 105:97416
 TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part II
 AUTHOR(S): Rao, A. Devender; Shankar, C. Ravi; Reddy, P. Bhaghavan; Reddy, V. Malla
 CORPORATE SOURCE: Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
 SOURCE: Journal of the Indian Chemical Society (1985), 62(3), 234-7
 CODEN: JICSAH; ISSN: 0019-4522
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 105:97416
 GI

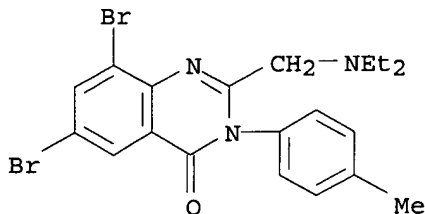


AB 3-Arylquinazolinones I [R = H, Br; R₁ = 4-MeC₆H₄, 2-MeC₆H₄, 4-O₂NC₆H₄, 2-O₂NC₆H₄; R₂ = NMe₂, NEt₂, N(CH₂CH₂OH)₂, piperidino, morpholino, 4-AcNHC₆H₄SO₂, etc.] were prepd. from I (R₂ = Cl), which were obtained by cyclocondensation of N-chloroacetylthranilic acids with R₁NH₂ in the presence of PCl₃. I are antifungal agents, I (R = H, R₁ = 4-O₂NC₆H₄, R₂ = 4-AcNHC₆H₄SO₂) giving total control of *Curvularia lunata* and *Fusarium oxysporum* at 800 .mu.g/mL.

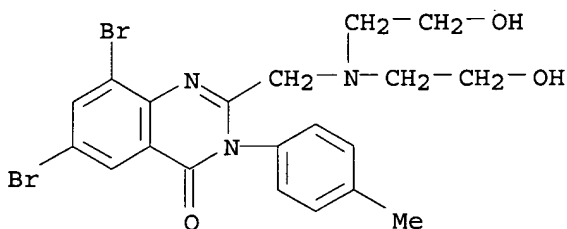
IT 103952-95-0P 103952-96-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antifungal activity of)

09/ 724,941 Supplemental

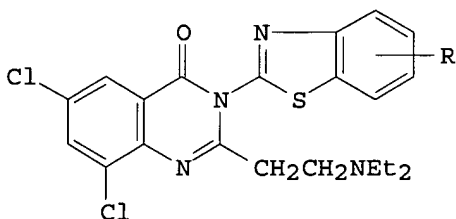
RN 103952-95-0 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[(diethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 103952-96-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-hydroxyethyl)amino]methyl]-6,8-dibromo-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:536974 CAPLUS
DOCUMENT NUMBER: 103:136974
TITLE: Hydrochlorides of 6,8-dichloro-2.beta.-diethylaminoethyl-3-[(substituted)benzothiazol-2'-yl]-4(3H)quinazolinones as potential fungicides
AUTHOR(S): Chaurasia, M. R.; Sharma, Ajay K.
CORPORATE SOURCE: Dep. Chem., DAV (PG) Coll., Dehra Dun, India
SOURCE: Journal of Nepal Chemical Society (1982), 2, 1-8
CODEN: JNCSEM; ISSN: 1012-8611
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The title quinazolinones I (R = H, Me, Cl, OMe, OEt) were prepd. by treating 2-aminobenzothiazoles with 3,5-dichloroacetylanthranilic acid followed by refluxing the product with paraformaldehyde and Et2NH.HCl. The fungicidal activity of I against Aspergillus fumigatus and Alternaria

alternata depended on their structure. Best inhibition of Alternaria growth was obtained with I (R = 4-Cl) [98256-90-7] and I (R = 6-OMe) [98256-92-9]; with Aspergillus highest inhibition was obtained with I (R = 5-Cl) [98256-91-8].

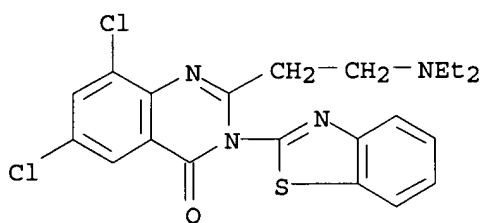
IT 98256-83-8P 98256-84-9P 98256-85-0P
98256-86-1P 98256-87-2P 98256-88-3P
98256-90-7P 98256-91-8P 98256-92-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of, structure in relation to)

RN 98256-83-8 CAPLUS

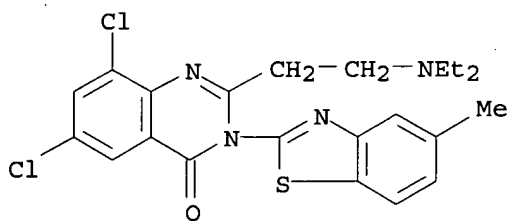
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-6,8-dichloro-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 98256-84-9 CAPLUS

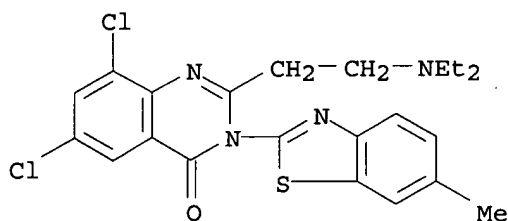
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

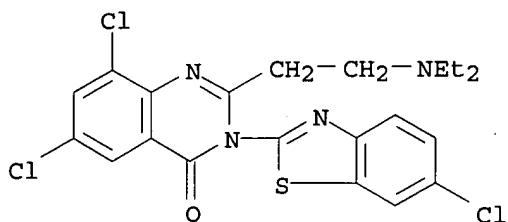
RN 98256-85-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



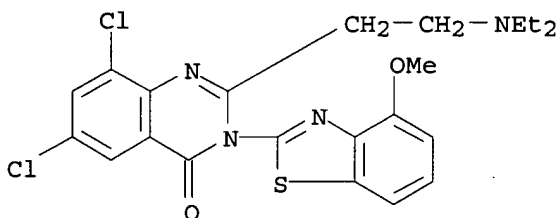
○ HCl

RN 98256-86-1 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

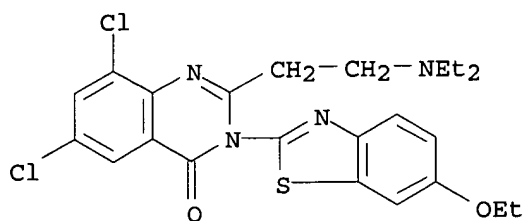
RN 98256-87-2 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(4-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 98256-88-3 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)

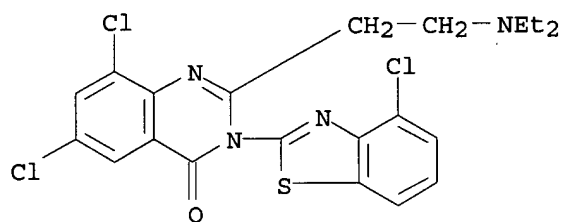
09/ 724,941 Supplemental



○ HCl

RN 98256-90-7 CAPLUS

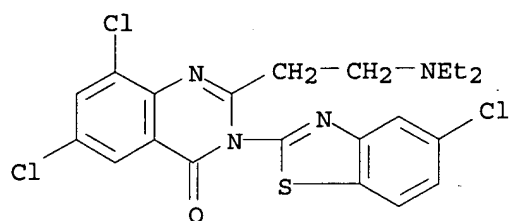
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 98256-91-8 CAPLUS

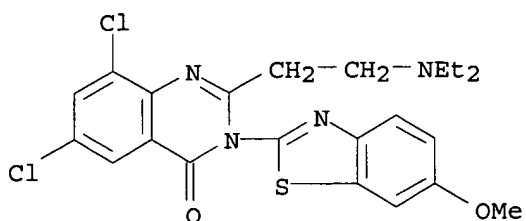
CN 4(3H)-Quinazolinone, 6,8-dichloro-3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

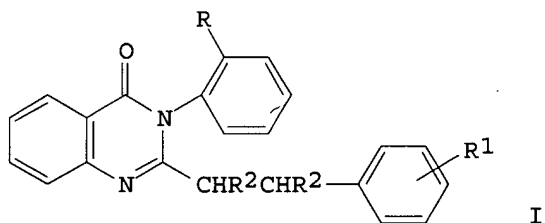
RN 98256-92-9 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[2-(diethylamino)ethyl]-3-(6-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



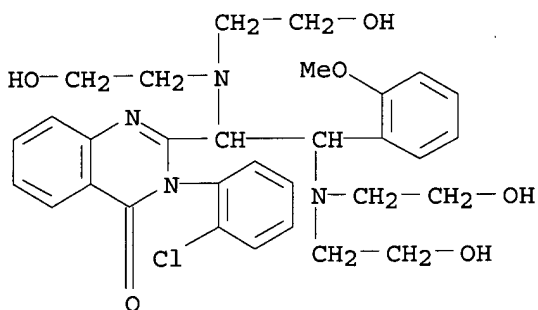
○ HCl

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1985:45864 CAPLUS
 DOCUMENT NUMBER: 102:45864
 TITLE: Synthesis and antiinflammatory activity of
 2-substituted-phenethyl-3-substituted-phenyl-4(3H)-
 quinazolinones
 AUTHOR(S): Singh, Inder Pal; Saxena, A. K.; Sinha, J. N.;
 Bhargava, K. P.; Shanker, K.
 CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll.,
 Lucknow, 226 003, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (1984),
 23B(6), 592-4
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 102:45864
 GI



AB Quinazolinones I (R = Cl, Me; R1 = 2-OMe, 3-Cl, 2-OH; R2 =
 N-Phenylpiperazino, homopiperidino, 2-methylpiperidino, morpholino,
 4-ClC6H4CH2CH2NH, N(CH2CH2OH)2, piperidino, N-(2-chlorophenyl)piperazino]
 have been prepd. by the bromination of 2-styrylquinazolinones to yield
 .alpha.,.beta.-dibromophenethylquinazolinones which undergo condensation
 with amines to give I. 2-(.alpha.-Bromo-o,.beta.-dimethoxyphenethyl)-3-
 (o-chlorophenyl)-4(3H)-quinazolinone has been obtained by the action of
 MeOH on the dibromo analog. All I show significant antiinflammatory
 activity. I (R = Cl, R1 = 3-Cl, R2 = N-phenylpiperazino) is the most
 potent.
 IT 93444-52-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)
 (prepn. and antiinflammatory activity of)
 RN 93444-52-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1,2-bis[bis(2-hydroxyethyl)amino]-2-(2-methoxyphenyl)ethyl]-3-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:6681 CAPLUS

DOCUMENT NUMBER: 96:6681

TITLE: Synthesis of some new 4(3H)-quinazolinones as potential fungicides

AUTHOR(S): Chaurasia, M. R.; Sharma, Surendra K.; Kumar, Sunil

CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, 248 001, India

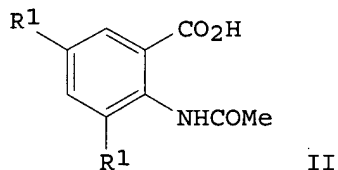
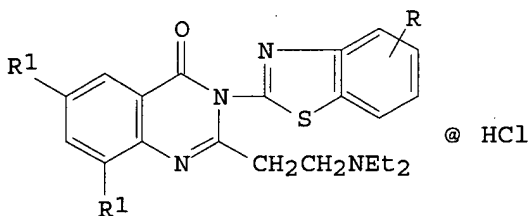
SOURCE: Current Science (1981), 50(19), 841-3

CODEN: CUSCAM; ISSN: 0011-3891

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Benzothiazolylquinazolines I (R = H, 4-, 5-, 6-Me, 4-, 5-, 6-Cl, 6-MeO, 6-EtO, R1 = H, Br) were prep'd. in 32-71% yields by cyclocondensation of II in the presence of an appropriate 2-aminobenzimidazole to give intermediates (no data) which were condensed with CH2O and Et2NH.HCl. I inhibited *Aspergillus niger* and *Draschlera australiensis*.

IT 80144-66-7P 80144-67-8P 80144-68-9P

80144-69-0P 80144-70-3P 80144-71-4P

80144-72-5P 80144-73-6P 80144-74-7P

80144-75-8P 80144-76-9P 80144-77-0P

80144-78-1P 80144-79-2P 80144-80-5P

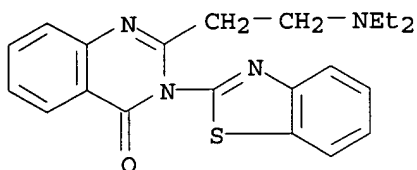
80144-81-6P 80144-82-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 80144-66-7 CAPLUS

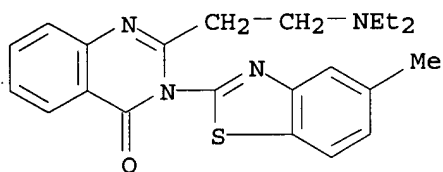
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 80144-67-8 CAPLUS

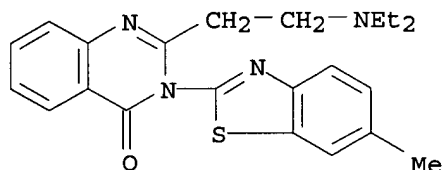
CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

RN 80144-68-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)

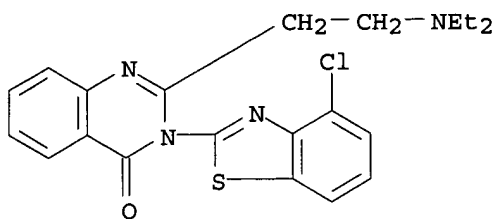


⊙ HCl

RN 80144-69-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

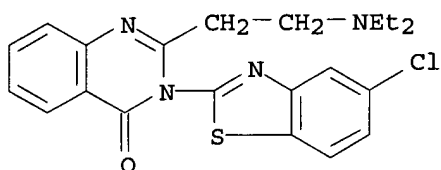
09/ 724,941 Supplemental



○ HCl

RN 80144-70-3 CAPLUS

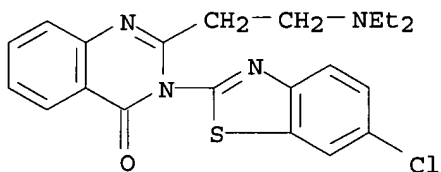
CN 4(3H)-Quinazolinone, 3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 80144-71-4 CAPLUS

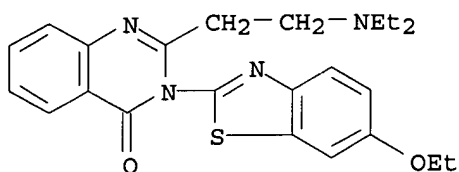
CN 4(3H)-Quinazolinone, 3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

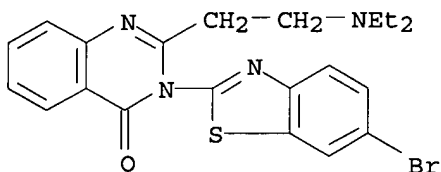
RN 80144-72-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



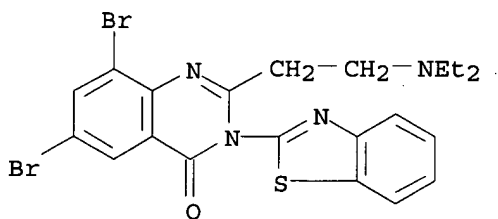
○ HCl

RN 80144-73-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-(6-bromo-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

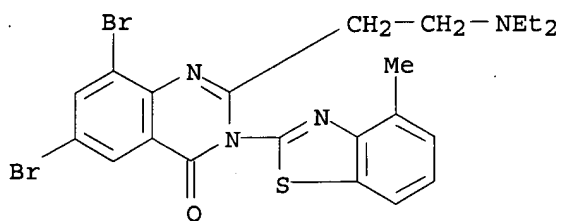
RN 80144-74-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-6,8-dibromo-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

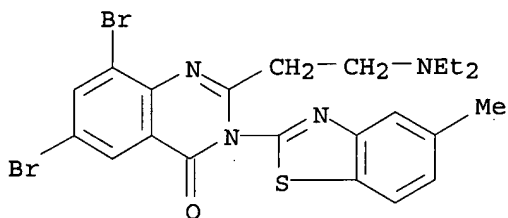
RN 80144-75-8 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(4-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/ 724,941 Supplemental



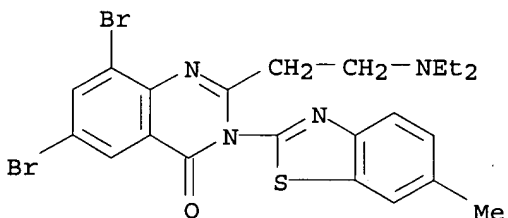
○ HCl

RN 80144-76-9 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(5-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



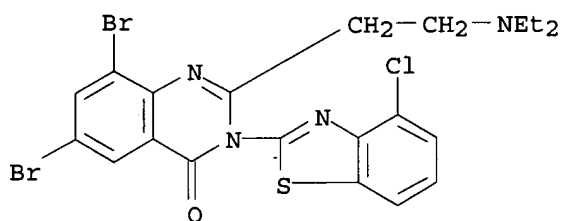
○ HCl

RN 80144-77-0 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-methyl-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

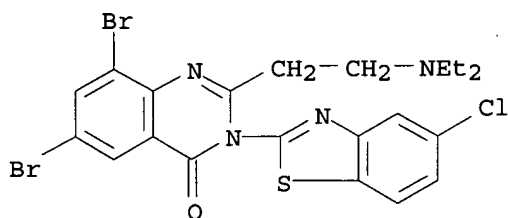
RN 80144-78-1 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(4-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 80144-79-2 CAPLUS

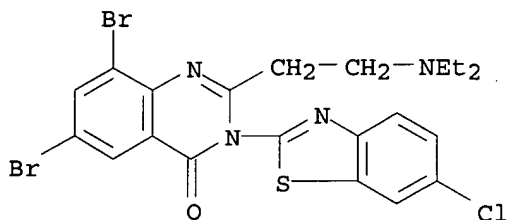
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(5-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 80144-80-5 CAPLUS

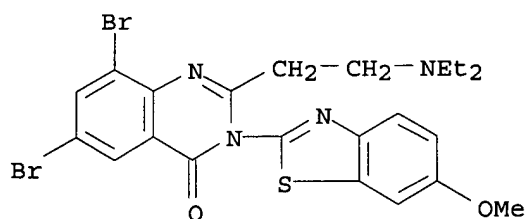
CN 4(3H)-Quinazolinone, 6,8-dibromo-3-(6-chloro-2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

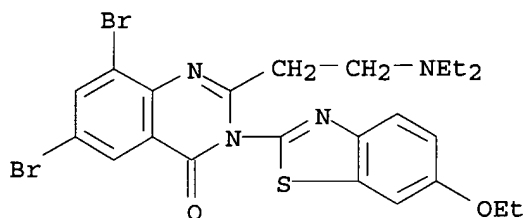
RN 80144-81-6 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-methoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

RN 80144-82-7 CAPLUS
 CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[2-(diethylamino)ethyl]-3-(6-ethoxy-2-benzothiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

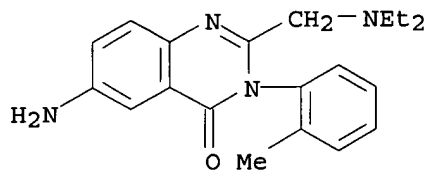
L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1980:426374 CAPLUS
 DOCUMENT NUMBER: 93:26374
 TITLE: Studies on biologically active halogenated compounds.
 II. Chemical modifications of 6-amino-2-fluoromethyl-3-[o-tolyl]-4[3H]-quinazolinone and the CNS depressant activities of related compounds
 AUTHOR(S): Tani, Junichi; Yamada, Yoshihisa; Ochiai, Takashi; Ishida, Ryuichi; Inoue, Ichizo; Oine, Toyonari
 CORPORATE SOURCE: Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(11), 2675-87
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A no. of derivs. of 6-amino-2-fluoromethyl-3-(o-tolyl)-4(3H)-quinazolinone (6-aminomethaqualone), a potent muscle relaxant, were prepd. and screened in terms of the loss of righting reflex test and the rotating rod test in mice. Several derivs. with addnl. F substitution or with repositioning of the F atom exhibited high activities. Other structural modification included acylation, carbamoylation, and alkoxycarbonylation of the 6-amino group, hydroxylation at the 3-tolyl group, and replacement of the F atom at the 2-fluoromethyl group by O, N and S nucleophiles; these modification all resulted in loss of activity.
 IT 73832-37-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

09/ 724,941 Supplemental

(prepn. and antidepressant activity of)

RN 73832-37-8 CAPLUS

CN 4(3H)-Quinazolinone, 6-amino-2-[(diethylamino)methyl]-3-(2-methylphenyl)-
(9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 10:25:34 ON 24 APR 2003)

FILE 'REGISTRY' ENTERED AT 10:25:42 ON 24 APR 2003

L1 STRUCTURE UPLOADED

L2 50 S L1

L3 32530 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:27:02 ON 24 APR 2003

L4 21 S L3/BIOL

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

101.15

249.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-13.67

-13.67

STN INTERNATIONAL LOGOFF AT 10:32:44 ON 24 APR 2003